## => d his

(FILE 'HOME' ENTERED AT 18:27:31 ON 27 APR 2007)

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FILE 'REGISTRY' ENTERED AT 18:27:48 ON 27 APR 2007
L1
              1 S 36322-90-4
     FILE 'CAPLUS, MEDLINE' ENTERED AT 18:28:43 ON 27 APR 2007
           5260 S L1
L2
L3
            243 S L2 AND ?CYCLODEXTRIN?
L4
             3 S L3 AND ?LYOPHIL?
L5
             10 S L3 AND ?FREEZE-DRIED?
L6
            240 S L3 NOT L4
L7
            232 S L6 NOT L5
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L8 2 S L7 AND AMMONIUM HYDROXIDE L9 230 S L7 NOT L8

L100 S L9 AND FREEZ? DRIED? L11 0 S L9 AND FREEZ? DRY

L12 4 S L9 AND FREEZ? L13 226 S L9 NOT L12

L14 3 S L13 AND VACUUM

L15 223 S L13 NOT L14 L16 13 S L15 AND AMMONI?

L17 210 S L15 NOT L16 7 S L17 AND HYDROXIDE? L18

203 S L17 NOT L18 L19 6 S L19 AND HEAT? L20 L21 197 S L19 NOT L20

L22 39 S L21 AND WATER? L23 0 S L22 AND FROZ?

L24 3 S L22 AND TEMP?

L25 36 S L22 NOT L24

## => d his

(FILE 'HOME' ENTERED AT 18:27:31 ON 27 APR 2007)

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FILE 'REGISTRY' ENTERED AT 18:27:48 ON 27 APR 2007
L1 1 S 36322-90-4
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L4		3	S	L3	AND	?LYOP	HIL?						
L5		10	S	L3	AND	?FREE	ZE-DR	IED:	?				
L6		240	S	L3	NOT	L4							
L7		232	S	L6	NOT	L5							
L8		2	S	L7	AND	AMMON	IUM H	YDRO	OXIDE				
L9		230	S	L7	TOM	L8							
L10		0	S	L9	AND	FREEZ	? DRI	ED?					
L11		0	S	L9	AND	FREEZ	? DRY						
L12		4	S	L9	AND	FREEZ	?						
L13		226	S	L9	NOT	L12							
L14		3	S	L13	ANI	VACU	UM						
L15		223	S	L13	ron a	L14							
L16		13	S	L15	ANI	OMMA C	NI?						
L17		210	S	L15	ron a	L16							
L18		7	S	L17	ANI	HYDR	OXIDE	?					
L19		203	s	L17	ron '	C L18							
L20		6	s	L19	ANI	HEAT	?						
L21		197	s	L19	ron e	r L20							
L22		39	S	L21	ANI	WATE:	R?						
L23		0	s	L22	ANI	FROZ	?		•				
L24		3	S	L22	ANI	TEMP	?						
L25		36	S	L22	ron :	L24							

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L1 1 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN

IN 2H-1,2-Benzothiazine-3-carboxamide, 4-hydroxy-2-methyl-N-2-pyridinyl-,

1,1-dioxide

MF C15 H13 N3 O4 S

CI COM

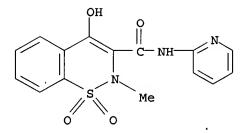
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

ALL ANSWERS HAVE BEEN SCANNED

ACCESSION NUMBER: 2005:1067505 CAPLUS DOCUMENT NUMBER: 143:353338 Pharmaceutical oral compositions with a non-lipid TITLE: taste masking effect Plouvier, Thierry; Kilhoffer, Daniel; Le INVENTOR(S): Peillet-Feuillet, Eliane; Tubery, Francoise PATENT ASSIGNEE(S): Chiesi S.A., Fr. Eur. Pat. Appl., 22 pp. SOURCE: CODEN: EPXXDW DOCUMENT TYPE: Patent LANGUAGE: English FAMILY ACC. NUM. COUNT: PATENT INFORMATION: APPLICATION NO. PATENT NO. KIND DATE --------------\_\_\_\_\_ EP 1582221 20051005 EP 2004-290848 A1 20040331 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK 20051013 WO 2005-EP3988 WO 2005094893 A2 20060420 WO 2005094893 **A3** W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG 20070103 EP 1737494 A2 EP 2005-729283 20050330 AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU NO 2006004963 Α 20070102 NO 2006-4963 20061030 A 20040331 PRIORITY APPLN. INFO.: . EP 2004-290848 W 20050330 WO 2005-EP3988 The present invention relates to compns. comprising (a) at least a AB pharmaceutically active substance which has an unpleasant taste; and (b) at least a non-lipid taste masking association comprising at least an acid and at least a binder, and (c) at least a filler. It also relates to oral pharmaceuticals comprising these compns. and processes for making and administering such compns. For example, piroxicam granulates with pleasant taste (no bitterness) were prepared by (i) complexing piroxicam 20 mg with  $\beta$ - cyclodextrin 171.2 mg, (ii) mixing with ammonium hydroxide (28%) 20.9 mg, vanillin 8 mg, caramel 8 mg, citric acid 16.9 mg, apple pectin 20.3 mg, dextrose 75.6 mg, and water 0.98 mL, and (iii) freeze drying. IT 36322-90-4, Piroxicam RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (oral compns. comprising organic acid and non-lipid taste masking binder) RN36322-90-4 CAPLUS 2H-1,2-Benzothiazine-3-carboxamide, 4-hydroxy-2-methyl-N-2-pyridinyl-, CN 1,1-dioxide (CA INDEX NAME)

ANSWER 1 OF 3 CAPLUS COPYRIGHT 2007 ACS on STN

L4



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:633062 CAPLUS

DOCUMENT NUMBER: 141:162378

TITLE: Therapeutic polymer compositions for drug delivery to

and through covering epithelia

INVENTOR(S): Pauletti, Giovanni M.; Desai, Kishorkumar J.; Roweton,

Susan L.; Harrison, Donald C.; Sanders, Lynda M.

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 28 pp., Cont.-in-part of U.S.

Ser. No. 444,634.

CODEN: USXXCO

DOCUMENT TYPE:

Patent English

LANGUAGE: Eng. FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE		
US 2004151774	A1	20040805	US 2003-698794		20031031		
US 2003219472	A1	20031127	US 2003-444634		20030522		
PRIORITY APPLN. INFO.:			US 2002-423260P I	•	20021031		
			US 2002-424920P	•	20021108		
·			US 2002-425655P	•	20021112		
			US 2003-444634 A	12	20030522		
			US 2002-382644P	)	20020523		

Polymer foams and films for delivery of therapeutic agents to and through AΒ nasal, oral or vaginal mucosa and cornified or non-cornified epithelium of labia and scrotum are described. Polymer foams or absorbable or non-absorbable films comprises a therapeutic agent incorporated therein, wherein the agent is released from the foams or films upon placement of on the surface epithelium of nasal, oral, or vaginal labia or scrotum. foam or the film has a controllable rate of gelling, swelling and degradation and is preformed into a device or is applied as a coating to a surface of a more complex drug delivery system. For example, preparation of a foam for transvaginal delivery of ketoconazole was described. Tween 80 (1.0 g) in 100.0 mL of the citric acid/phosphate buffer solution was heated to 80° and 2.5 g HPMC (Methocel K) were subsequently added resulting in a homogenous solution 'The solution was cooled to 60°, 2.0 mg ketoconazole was added, and the mixture was stirred. Eighteen 5.0 mL plastic syringes were filled with the drug-containing solution and placed into а

freezer at -80° for 1 h. Frozen cylinders of the solution were then expelled from the syringes and lyophilized to produce cylindrical ketoconazole-containing foam samples.

IT 36322-90-4, Piroxicam

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (polymeric films and foams for drug delivery to and through epithelium and mucosa)

RN 36322-90-4 CAPLUS

CN 2H-1,2-Benzothiazine-3-carboxamide, 4-hydroxy-2-methyl-N-2-pyridinyl-,

## 1,1-dioxide (CA INDEX NAME)

L4 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1993:109726 CAPLUS

DOCUMENT NUMBER: 118:109726

TITLE: Pharmaceutical compositions containing slightly

water-soluble drugs and cyclodextrins

INVENTOR(S): Uda, Yoshiaki; Nishida, Yohko; Ogawa, Yasuaki

PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan

SOURCE: Eur. Pat. Appl., 12 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATEN	T NO.		KIND	DATE	APPLICATION NO.	DATE
				10001000	TD 1000 11000	
EP 51	9428		A2	19921223	EP 1992-110230	19920617
EP 51	9428		A3	19930505		
EP 51	9428		B1	20000920		
R	: AT, B	E, CH,	DE, D	K, ES, FR,	GB, GR, IT, LI, LÚ,	NL, PT, SE
EP 10	04318		A2	20000531	EP 2000-104213	19920617
EP 10	04318		<b>A3</b>	20020807		
R	: AT, B	E, CH,	DE, D	K, ES, FR,	GB, GR, IT, LI, LU,	NL, SE, PT
AT 19	6426		T	20001015	AT 1992-110230	19920617
CA 20	71623		<b>A1</b>	19921222	CA 1992-2071623	19920618
CA 20	71623		С	20030408		
JP 05	178765		A	19930720	JP 1992-159246	19920618
JP 31	76716		B2	20010618		
US 54	86508		Α	19960123	US 1994-236699	19940428
PRIORITY A	PPLN. IN	FO.:			JP 1991-150507	A 19910621
					JP 1991-230489	A 19910910
					EP 1992-110230	A3 19920617
					US 1992-901501	B1 19920619

 ${\tt AB} \quad {\tt A} \ {\tt composition} \ {\tt with} \ {\tt improved} \ {\tt water-solubility} \ {\tt and} \ {\tt stability}, \ {\tt particularly} \ {\tt suitable}$ 

for injection prepns. comprises a slightly water-soluble drug, a cyclodextrin, and a water-soluble organic solvent. Thus, 6-O-(N-chloroacetylcarbamoyl)fumagillol (I) was dissolved in EtOH and sep.

maltosyl  $\beta$ - cyclodextrin was dissolved in water. The aqueous solution was added to the ethanol solution with stirring and the solution was lyophilized to obtain a powder. Solubility of I was 42.0 mg/mL,

compared to 3.7 mg/mL in the powder obtained by a conventional method.

IT 36322-90-4, Piroxicam

RL: BIOL (Biological study)

(freezed-dried powder containing cyclodextrins and, water solubility enhancement in)

RN 36322-90-4 CAPLUS

CN 2H-1,2-Benzothiazine-3-carboxamide, 4-hydroxy-2-methyl-N-2-pyridinyl-, 1,1-dioxide (CA INDEX NAME)

L5 ANSWER 10 OF 10 MEDLINE on STN ACCESSION NUMBER: 2001256866 MEDLINE DOCUMENT NUMBER: PubMed ID: 11135193

TITLE: Influence of environment on piroxicam polymorphism:

vibrational spectroscopic study. Taddei P; Torreggiani A; Simoni R

CORPORATE SOURCE: Dipartimento di Biochimica G. Moruzzi, Sezione di Chimica e

Propedeutica Biochimica, University of Bologna, Via

Belmeloro 8/2, 40126 Bologna, Italy.. ptaddei@ciam.unibo.it

SOURCE: Biopolymers, (2001) Vol. 62, No. 1, pp. 68-78.

Journal code: 0372525. ISSN: 0006-3525.

PUB. COUNTRY: United States

DOCUMENT TYPE: (COMPARATIVE STUDY)

Journal; Article; (JOURNAL ARTICLE)

LANGUAGE: English

AUTHOR:

FILE SEGMENT: Priority Journals

ENTRY MONTH: 200105

ENTRY DATE: Entered STN: 21 May 2001

Last Updated on STN: 21 May 2001 Entered Medline: 17 May 2001

AΒ FTIR and FT-Raman spectroscopies were used to evaluate the mechanism of transformation of piroxicam into its different forms (alpha, beta, and monohydrate), depending on the environment. These vibrational techniques allowed us to identify the forms of piroxicam that crystallize from different solvents at different cooling rates and the conformation of the drug in some of its derivatives: piroxicam hydrochloride, piroxicam thallium and sodium salt hemihydrates, and piroxicam sodium salt. usefulness of Raman spectroscopy in characterizing piroxicam:betacyclodextrin (PbetaCD) inclusion compounds was described. Raman spectrum of 1:2 PbetaCD was discussed in comparison with that of the corresponding piroxicam sodium salt containing inclusion compound (1:2 PNabetaCD) in order to study the influence of the piroxicam derivative used on the structure of the inclusion compound. The Raman results showed that in both of the inclusion compounds the piroxicam mainly assumes the zwitterionic structure typical of a monohydrate; therefore, the kind of derivative used does not affect the conformation of the drug in its inclusion compound. The effect of the method of synthesis utilized (freeze-drying or freeze-thaw cycling) to obtain 1:2.5 PbetaCD was investigated. The inclusion compound obtained by freeze-thaw cycling proved to be more crystalline and to contain a higher amount of the beta form than the freeze-dried inclusion compound. Raman spectroscopy proved to be a useful technique for evaluating the effectiveness of the manufacturing process in relation to the pharmaceutical properties of the drug and to the nondestructive and noninvasive on-line quality control of the industrial products.

ANSWER 1 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN L5 2007:203336 CAPLUS ACCESSION NUMBER: DOCUMENT NUMBER: 146:302016 Studies on piroxicam  $\beta$ - cyclodextrin TITLE: inclusion complexes Doijad, R. C.; Kanakal, Mahibub M.; Manvi, F. V. AUTHOR (S): Department Of Pharmaceutics, K.L.E.S's College of CORPORATE SOURCE: Pharmacy, Belgaum, India Indian Pharmacist (New Delhi, India) (2007), 6(55), SOURCE: 94,97-98 CODEN: IPNHA9; ISSN: 0972-7914 Bazaz Publications PUBLISHER: DOCUMENT TYPE: Journal English LANGUAGE: An interaction of piroxicam (PX) and  $\beta$ - cyclodextrin (B-CD) was investigated in solution and in the solid state. Solubility studies demonstrated the formation of the PX-β-CD inclusion complex with 1:1 stoichiometry. Complexes were characterized by Fourier transform IR (FTIR) spectroscopy. Equi-mol. PX- $\beta$ -CD solid systems prepared by various techniques were evaluated for its dissoln. profile, thermal stability and photo-stability. The complex prepared by neutralization method was found to yield enhanced dissoln. rate and stability over that of the complex prepared by freeze-dried and kneading method. REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT ANSWER 2 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 2005:1067505 CAPLUS DOCUMENT NUMBER: 143:353338 TITLE: Pharmaceutical oral compositions with a non-lipid taste masking effect Plouvier, Thierry; Kilhoffer, Daniel; Le INVENTOR(S): Peillet-Feuillet, Eliane; Tubery, Francoise Chiesi S.A., Fr. PATENT ASSIGNEE(S): Eur. Pat. Appl., 22 pp. SOURCE: CODEN: EPXXDW DOCUMENT TYPE: Patent LANGUAGE: English FAMILY ACC. NUM. COUNT: PATENT INFORMATION: APPLICATION NO. PATENT NO. KIND DATE DATE -------------------EP 2004-290848 EP 1582221 **A**1 20051005 20040331 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK WO 2005094893 A2 20051013 WO 2005-EP3988 20050330 WO 2005094893 Α3 20060420

WO 2005094893

A3 20060420

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, Z, RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

EP 1737494

A2 20070103 EP 2005-729283 20050330

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LI, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA,

HR, LV, MK, YU

A 20070102 NO 2006004963 NO 2006-4963 20061030 A 20040331 EP 2004-290848 PRIORITY APPLN. INFO.: W 20050330 WO 2005-EP3988

The present invention relates to compns. comprising (a) at least a pharmaceutically active substance which has an unpleasant taste; and (b) at least a non-lipid taste masking association comprising at least an acid and at least a binder, and (c) at least a filler. It also relates to oral pharmaceuticals comprising these compns. and processes for making and administering such compns. For example, piroxicam granulates with pleasant taste (no bitterness) were prepared by (i) complexing piroxicam 20 mg with  $\beta$ - cyclodextrin 171.2 mg, (ii) mixing with ammonium hydroxide (28%) 20.9 mg, vanillin 8 mg, caramel 8 mg, citric acid 16.9 mg, apple pectin 20.3 mg, dextrose 75.6 mg, and water 0.98 mL, and (iii) freeze drying.

REFERENCE COUNT: THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 3 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

2002:739591 CAPLUS

DOCUMENT NUMBER:

138:406737

TITLE:

Inclusion complexes of piroxicam with  $\beta$ cyclodextrin derivatives in comparison with

the natural  $\beta$ - cyclodextrin: 2nd

communication: in vitro and in vivo drug availability Elkheshen, Seham A.; Ahmed, Sayed M.; Al-Quadeib,

Bushra T.

CORPORATE SOURCE:

Department of Pharmaceutics, College of Pharmacy, King

Saud University, Riyadh, Saudi Arabia

SOURCE:

Pharmazeutische Industrie (2002), 64(7), 708-715

CODEN: PHINAN; ISSN: 0031-711X

PUBLISHER:

AUTHOR (S):

Editio Cantor Verlag

DOCUMENT TYPE:

Journal

LANGUAGE: English

Two modified cyclodextrins, heptakis-(2,6-di-0-methyl)-β-

Cyclodextrin (DM- $\beta$ -CD) and hydroxypropyl- $\beta$ -

cyclodextrin (HP-β-CD), were adopted for preparing piroxicamcyclodextrin (PIR-CD) inclusion complexes, in comparison to

 $\beta$ - cyclodextrin ( $\beta$ -CD). Inclusion complexes were

prepared via co-precipitation and freeze drying techniques in a 1:1 and 1:2.5 molar

ratio (drug-to-CD). The phys. mixts. were also prepared in the same molar ratios for comparison. The in vitro dissoln. rate of piroxicam (CAS 36322-90-4) from PIR-CD systems varied according to the types of CD used, the method of preparation of inclusion complexes, and the guest-host molar ratios. Piroxicam-dimethyl-β- cyclodextrin (PIR-DM- $\beta$ -CD) systems were superior in increasing the dissoln. rate of PIR compared to piroxicam-hydroxypropyl-β- cyclodextrin (PIR-HP- $\beta$ -CD) and piroxicam- $\beta$ - cyclodextrin (PIR- $\beta$ -CD) systems. The methods of preparing solid complexes played the major role. The freeze drying method showed the superior results, particularly if combined with the use of DM-β-CD. Furthermore, PIR-DM- $\beta$ -CD freeze dried product in the 1:2.5 molar ratio was chosen for in vivo study in comparison with two com. products. The bioavailability and pharmacokinetic parameters showed that administration of PIR-DM-β-CD freeze dried product in the 1:2.5 molar ratio to rabbits is characterized by a higher oral absorption rate and extent than those of one of the marketed products. Significant differences have been observed among Cmax, tmax and AUC0-∞. Comparative bioavailability of the same formula with the other marketed product showed significant differences among Cmax and tmax (absorption rate), but not in the AUCO-∞ (absorption extent). A good to excellent in vitro-in vivo correlation between the dissoln. parameters and the bioavailability data was observed which indicates that the

enhancement of dissoln. was the main factor behind the improvement of bioavailability with DM- $\beta$ -CD.

REFERENCE COUNT:

30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:659822 CAPLUS

DOCUMENT NUMBER: 138:142608

TITLE: Inclusion complexes of piroxicam with βcyclodextrin derivatives in comparison with

the natural  $\beta$ - cyclodextrin: 1st

communication: preparation and physicochemical

characterization

AUTHOR(S): Elkheshen, Seham A.; Ahmed, Sayed M.; Sammour, Omima

A.; Al-Quadeib, Bushra T.

CORPORATE SOURCE: Department of Pharmaceutics, College of Pharmacy, King

Saud University, Riyadh, Saudi Arabia

SOURCE: Pharmazeutische Industrie (2002), 64(6), 612-620

CODEN: PHINAN; ISSN: 0031-711X

PUBLISHER: Editio Cantor Verlag

DOCUMENT TYPE: Journal LANGUAGE: English

AB Two modified cyclodextrins (CD), heptakis-[2,6-di-O-methyl]-

 $\beta$ - cyclodextrin (DM- $\beta$ -CD) and hydroxypropyl- $\beta$ -

cyclodextrin (HP- $\beta$ -CD), were adopted for preparing piroxicam-cyclodextrin (PIR-CD) inclusion complexes, in comparison with

 $\beta$ - cyclodextrin ( $\beta$ -CD). Inclusion complexes were

prepared via co-precipitation and freeze drying techniques in a 1:1 and 1:2.5 molar

ratio (drug-to-CD). The physicochem. characteristics of PIR-CD inclusion complexes were evaluated using differential scanning calorimetric anal. (DSC), X-ray diffraction anal. (XRD) and Fourier transform infra-red anal. (FTIR). Results were compared with the pure drug and the corresponding phys. mixts. (PM) in the same molar ratios. Phase solubility diagrams of PIR with each of the CDs in distilled water at 37  $\pm$  0.5 °C, indicated the formation of soluble complexes of the AL type. The apparent stability constant, which reflect the affinity of CD to the drug, can be arranged in the following order: DM- $\beta$ -CD > HP- $\beta$ -CD >  $\beta$ -CD. No interaction of the drug with CD was observed in the PMs as proven by the DSC, XRD and FTIR anal. The persistence of some of the characteristic peaks of piroxicam (CAS 36322-90-4) in the XRD patterns of coppts. indicated partial inclusion of the drug in the CD cavities. DSC and XRD clearly indicated the formation of an amorphous powder with freeze dried products. The FTIR spectral changes indicated the inclusion of piroxicam within the CD cavities.

REFERENCE COUNT: 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2001:77299 CAPLUS

DOCUMENT NUMBER: 134:285526

TITLE: Influence of environment on piroxicam polymorphism:

vibrational spectroscopic study

AUTHOR(S): Taddei, Paola; Torreggiani, Armida; Simoni, Rosa

CORPORATE SOURCE: Dipartimento di Biochimica G. Moruzzi, Sezione di

Chimica e Propedeutica Biochimica, University of

Bologna, Bologna, 40126, Italy

SOURCE: Biopolymers (2000), Volume Date 2001, 62(1), 68-78

CODEN: BIPMAA; ISSN: 0006-3525

PUBLISHER: John Wiley & Sons, Inc.

DOCUMENT TYPE: Journal LANGUAGE: English

AB FTIR and FT-Raman spectroscopies were used to evaluate the mechanism of transformation of piroxicam into its different forms ( $\alpha$ ,  $\beta$ , and

monohydrate), depending on the environment. These vibrational techniques allowed us to identify the forms of piroxicam that crystallize from different solvents at different cooling rates and the conformation of the drug in some of its derivs.: piroxicam hydrochloride, piroxicam thallium and sodium salt hemihydrates, and piroxicam sodium salt. The usefulness of Raman spectroscopy in characterizing piroxicam:  $\beta$ cyclodextrin (PβCD) inclusion compds. was described. Raman spectrum of 1:2 P $\beta$ CD was discussed in comparison with that of the corresponding piroxicam sodium salt containing inclusion compound (1:2 PNaβCD) in order to study the influence of the piroxicam derivative used on the structure of the inclusion compound The Raman results showed that in both of the inclusion compds. the piroxicam mainly assumes the zwitterionic structure typical of a monohydrate; therefore, the kind of derivative used does not affect the conformation of the drug in its inclusion compound The effect of the method of synthesis utilized (freeze-drying or freeze-thaw cycling) to obtain 1:2.5 PBCD was investigated. The inclusion compound obtained by freeze-thaw cycling proved to be more

crystalline

and to contain a higher amount of the  $\beta$  form than the freezedried inclusion compound Raman spectroscopy proved to be a useful technique for evaluating the effectiveness of the manufacturing process in relation to the pharmaceutical properties of the drug and to the nondestructive and noninvasive online quality control of the industrial products.

REFERENCE COUNT:

27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1998:253273 CAPLUS

DOCUMENT NUMBER:

128:248573

TITLE:

Novel anti-spasmodic and antiinflammatory

pharmaceutical composition Jain, Rajesh; Singh, Amarjit

PATENT ASSIGNEE(S): Pa

Panacea Biotec Limited, India

COURSE ADDIONED (D).

Can. Pat. Appl., 23 pp.

SOURCE:

CODEN: CPXXEB

DOCUMENT TYPE:

Patent

LANGUAGE:

INVENTOR(S):

English

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
CA 2202425	A1	19971012	CA 1997-2202425		19970411
IN 187379	A1	20020413	IN 1996-DE792		19960412
JP 10130143	Α	19980519	JP 1996-290585		19961031
JP 2875988	B2	19990331			
RU 2182016	C2	20020510	RU 1997-104487		19970320
US 5876751	A	19990302	US 1997-824409		19970326
CN 1218692	A	19990609	CN 1997-104932		19970326
CN 1099285	В	20030122			
ZA 9702930	Α	19971118	ZA 1997-2930		19970407
AU 9717861	Α	19980108	AU 1997-17861		19970411
AU 695642	B2	19980820	•		
JP 10036258	A	19980210	JP 1997-95500		19970414
JP 3150642	B2	20010326			
TW 491702	· B	20020621	TW 1997-86115420		19971020
IN 187310	A1	20020323	IN 2000-DE289		20000322
PRIORITY APPLN. INFO.:			IN 1996-DE792	A	19960412
			IN 1995-DE1389	Α	19950725

AB A composition comprising at least one non-steroidal antiinflammatory drug, their salts, their chirally pure forms, isomers and derivs., analogs and adducts thereof and pitofenone hydrochloride and fenpiverinium bromide in a pharmaceutically acceptable combination is disclosed. An injection

solution contained nimesulide 100, pitofenone hydrochloride 2.0, fenpiverenium bromide 0.02 mg, benzyl alc. 0.04, benzyl benzoate 0.76, dimethylacetamide 0.2, and Et oleate q.s. 2.0 mL. Efficacy of the composition was studied in patients with intestinal, ureteric and biliary colic.

L5 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1997:677983 CAPLUS

DOCUMENT NUMBER: 127:298657

TITLE: Influence of hydroxypropyl β- cyclodextrin

on dissolution of piroxicam and on irritation to

stomach of rats upon oral administration

AUTHOR(S): Nagarsenker, Mangal S.; Musale, Jyotsna M.

CORRORATE SOURCE: The Rembay College of Pharmacy, Mumbai 400 00

CORPORATE SOURCE: The Bombay College of Pharmacy, Mumbai, 400 098, India

SOURCE: Indian Journal of Pharmaceutical Sciences (1997),

59(4), 174-180

CODEN: IJSIDW; ISSN: 0250-474X
Indian Pharmaceutical Association

DOCUMENT TYPE: Journal LANGUAGE: English

PUBLISHER:

AB Solid dispersions of hydroxypropyl  $\beta$ - cyclodextrin (HPB), a highly water-soluble derivative of  $\beta$ - cyclodextrin and piroxicam (PRX) were prepared by kneading, co-evaporation and freeze-drying. X-ray diffraction, DSC, IR-spectral studies and TLC were used to characterize the solid dispersions and also to study the possibility of complexation of the drug with HPB. A marked difference in characteristics of dispersions was observed due to their methods of preparation. The dissoln. of PRX from the solid dispersion was studied by the dispersed powder technique and also as per USP 1990 which was found to have improved considerably over that of the pure drug alone. Coevaporated and freeze-dried

dispersions caused significant reduction in irritation to stomach mucosa of rats upon oral administration.

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1994:686623 CAPLUS

DOCUMENT NUMBER: 121:286623

TITLE: Extrusion and freeze-drying method for preparing

pharmaceutical particles

INVENTOR(S): Nguyen, Thanh-Tam; Jacquot-Leyder, Joelle

PATENT ASSIGNEE(S): Laboratoire L. Lafon, Fr.

SOURCE: PCT Int. Appl., 37 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO.	DATE
WO 9421371 W: CA, JP, US		WO 1994-FR281	19940315
		GB, GR, IE, IT, LU, N	
FR 2702968	A1 19940930	FR 1993-3316	19930323
FR 2702968	B1 19950623		•
CA 2156915	A1 19940929	CA 1994-2156915	19940315
CA 2156915	C 20050111		
EP 690747	A1 19960110	EP 1994-909968	19940315
EP 690747	B1 19970528		
R: AT, BE, CH	, DE, DK, ES, FR,	GB, GR, IE, IT, LI, I	LU, MC, NL, PT, SE
JP 08507940	T 19960827	JP 1994-520710	19940315
JP 3601825	B2 20041215		
AT 153562	T 19970615	AT 1994-909968	19940315
ES 2105663	T3 19971016	ES 1994-909968	19940315

US 5843347 A 19981201 US 1997-906004 19970804
PRIORITY APPLN. INFO.: FR 1993-3316 A 19930323
WO 1994-FR281 W 19940315
US 1995-530293 B1 19950919

AB A method for preparing particles each of which consists of a carrier forming a matrix, and at least one active ingredient uniformly distributed throughout said matrix. The method comprises extrusion and freeze-drying steps, wherein (1) at least one active ingredient, a physiol. acceptable hydrophilic carrier, and water are uniformly mixed to give a pasty mixture with a viscosity at room temperature (15-20°) of under 1 Pa.s; (2) the resulting uniform mixture is extruded and the extrudate is broken up into moist particles; (3) the resulting particles are frozen as they fall under their own weight into an inert gas stream at a below-zero temperature; and (4)

said

particles are freeze-dried. A mixture of paracetamol 100.00, dextran 10.00, xanthan 0.05, lactose 15.000, polysorbate-60 0.40 and water 120.00 g was extruded to particles of 0.5 mm diameter which were then freeze-dried under N.

L5 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1993:109726 CAPLUS

DOCUMENT NUMBER: 118:109726

TITLE: Pharmaceutical compositions containing slightly

water-soluble drugs and cyclodextrins

INVENTOR(S): Uda, Yoshiaki; Nishida, Yohko; Ogawa, Yasuaki

PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan

SOURCE: Eur. Pat. Appl., 12 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	PATENT NO.				KIND DATE			API	PLICATION		DATE			
	51942				A2		921223	EP	1992-110	 230		19920617		
	51942	-			A3		930505					1332001,		
EP	51942	8			B1	20	000920							
	R:	AT,	BE,	CH,	DE,	DK, E	S, FR,	GB, GI	R, IT, LI	, LU,	NL, P	T, SE		
	10043				A2	20	000531	EP	2000-104	213		19920617		
EP	10043	18			<b>A3</b>	20	020807							
	R:	AT,	BE,	CH,	DE,	DK, E	S, FR,	GB, GF	R, IT, LI	, LU,	NL, S	E, PT		
AT	19642	6			T	20	001015	AT	1992-110	230		19920617		
CA	20716	23			A1	19	921222	CA	1992-207	1623		19920618		
CA	20716	23			C	20	030408							
-	05178				Α	19	930720	JP	1992-159	246		19920618		
_	31767				B2		010618							
	54865				Α	19	960123		1994-236					
PRIORITY	APPL	N. ]	INFO	. :					1991-150			19910621		
									1991-230			19910910		
									1992-110			19920617		
ת מת		2 4 2 2							1992-901			19920619		

AB A composition with improved water-solubility and stability, particularly suitable

for injection prepns. comprises a slightly water-soluble drug, a cyclodextrin, and a water-soluble organic solvent. Thus, 6-O-(N-chloroacetylcarbamoyl)fumagillol (I) was dissolved in EtOH and sep. maltosyl  $\beta$ - cyclodextrin was dissolved in water. The aqueous solution was added to the ethanol solution with stirring and the solution was lyophilized to obtain a powder. Solubility of I was 42.0 mg/mL, compared to 3.7 mg/mL in the powder obtained by a conventional method.

L5 ANSWER 10 OF 10 MEDLINE on STN ACCESSION NUMBER: 2001256866 MEDLINE

PubMed ID: 11135193 DOCUMENT NUMBER:

TITLE: Influence of environment on piroxicam polymorphism:

vibrational spectroscopic study.

Taddei P; Torreggiani A; Simoni R AUTHOR:

CORPORATE SOURCE: Dipartimento di Biochimica G. Moruzzi, Sezione di Chimica e

Propedeutica Biochimica, University of Bologna, Via

Belmeloro 8/2, 40126 Bologna, Italy.. ptaddei@ciam.unibo.it

Biopolymers, (2001) Vol. 62, No. 1, pp. 68-78.

Journal code: 0372525. ISSN: 0006-3525.

PUB. COUNTRY: United States DOCUMENT TYPE:

(COMPARATIVE STUDY)

Journal; Article; (JOURNAL ARTICLE)

LANGUAGE: English

SOURCE:

Priority Journals FILE SEGMENT:

ENTRY MONTH: 200105

ENTRY DATE: Entered STN: 21 May 2001

> Last Updated on STN: 21 May 2001 Entered Medline: 17 May 2001

AB FTIR and FT-Raman spectroscopies were used to evaluate the mechanism of transformation of piroxicam into its different forms (alpha, beta, and monohydrate), depending on the environment. These vibrational techniques allowed us to identify the forms of piroxicam that crystallize from different solvents at different cooling rates and the conformation of the drug in some of its derivatives: piroxicam hydrochloride, piroxicam thallium and sodium salt hemihydrates, and piroxicam sodium salt. usefulness of Raman spectroscopy in characterizing piroxicam:betacyclodextrin (PbetaCD) inclusion compounds was described. The Raman spectrum of 1:2 PbetaCD was discussed in comparison with that of the corresponding piroxicam sodium salt containing inclusion compound (1:2 PNabetaCD) in order to study the influence of the piroxicam derivative used on the structure of the inclusion compound. The Raman results showed that in both of the inclusion compounds the piroxicam mainly assumes the zwitterionic structure typical of a monohydrate; therefore, the kind of derivative used does not affect the conformation of the drug in its inclusion compound. The effect of the method of synthesis utilized (freeze-drying or freeze-thaw cycling) to obtain 1:2.5 PbetaCD was investigated. The inclusion compound obtained by freeze-thaw cycling proved to be more crystalline and to contain a higher amount of the beta form than the freeze-dried inclusion compound. Raman spectroscopy proved to be a useful technique for evaluating the effectiveness of the manufacturing process in relation to the pharmaceutical properties of the drug and to the nondestructive and noninvasive on-line quality control of the industrial products.

L8 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:120181 CAPLUS

DOCUMENT NUMBER: 144:177541

TITLE: A process for the preparation of a piroxicam- $\beta$ -

cyclodextrin inclusion compound

INVENTOR(S): Pighi, Roberto; Fjordgaard Andersen, Soeren

PATENT ASSIGNEE(S): Chiesi Farmaceutici S.p.A., Italy

SOURCE: PCT Int. Appl., 23 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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PATENT NO.
                        KIND
                               DATE
                                         APPLICATION NO.
                                                                DATE
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                                          ______
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                               20060209 WO 2005-EP8105
                                                                20050726
    WO 2006013039
                        A2
        W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
            CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
            GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ,
            LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA,
            NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK,
            SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU,
            ZA, ZM, ZW
        RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
            IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
            CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
            GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
            KG, KZ, MD, RU, TJ, TM
    AU 2005268972
                         A1
                               20060209
                                          AU 2005-268972
                                                                 20050726
                                          EP 2004-18261
PRIORITY APPLN. INFO.:
                                                             A 20040802
                                          WO 2005-EP8105
                                                             W 20050726
```

AB The present invention relates to a process for the preparation of an inclusion compound of piroxicam with  $\beta$ - cyclodextrin by spray-drying, applicable on a pilot or industrial scale. The obtained product have optimal physicochem. characteristics as well as technol. and biopharmaceutical properties and it is suitable for preparing solid pharmaceutical compns. for the oral administration. For example, 8.6 kg (7.57 mol) of  $\beta$ - cyclodextrin, 1 kg (3.02 mol) of piroxicam and 1 kg of 28% ammonium hydroxide were added to about 50 L of water heated up to 73° to 75° and stirred. The solution was filtered and spray dried (nozzle diameter 0.5 mm, nozzle pressure 21 bar, air flow rate 600 kg/h, feed flow rate 12 kg/h, inlet and outlet temps. of 182° and 113°, resp.) to obtain the piroxicam- $\beta$ CD complex (1:2.5) in the form of free-flowing powder.

L8 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2000:725436 CAPLUS

DOCUMENT NUMBER: 133:301171

TITLE: Compositions and methods for improved delivery of

ionizable hydrophobic therapeutic agents

INVENTOR(S): Chen, Feng-jing; Patel, Manesh V.

PATENT ASSIGNEE(S): Lipocine, Inc., USA SOURCE: PCT Int. Appl., 99 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000059475	A1	20001012	WO 2000-US7342	20000316

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AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU,
             CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID,
             IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV,
             MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG,
             SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM,
             AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,
             DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,
             CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
     US 6383471
                          В1
                                20020507
                                            US 1999-287043
                                                                    19990406
     CA 2366702
                                20001012
                                            CA 2000-2366702
                                                                    20000316
                          A1
                                            EP 2000-916547
     EP 1165048
                                20020102
                                                                    20000316
                          A1
            AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
         R:
             IE, SI, LT, LV, FI, RO
                                            US 1999-287043
                                                                 A 19990406
PRIORITY APPLN. INFO.:
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WO 2000-US7342 W 20000316

The present invention is directed to a pharmaceutical composition including a AB hydrophobic therapeutic agent having at least one ionizable functional group, and a carrier. The carrier includes an ionizing agent capable of ionizing the functional group, a surfactant, and optionally solubilizers, triglycerides, and neutralizing agents. The invention further relates to a method of preparing such compns. by providing a composition of an ionizable hydrophobic therapeutic agent, an ionizing agent, and a surfactant, and neutralizing a portion of the ionizing agent with a neutralizing agent. The compns. of the invention are particularly suitable for use in oral dosage forms. A carrier containing concentrated phosphoric acid 0.025,

Tween-20

0.3, Arlacel 186 0.2, sodium taurocholate 0.15, propylene glycol 0.3 g was formulated. Itraconazole was included in the carrier at 30 mg/mL for testing the stability of the itraconazole solution upon dilution in simulated gastric fluid.

REFERENCE COUNT:

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT L12 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:1226425 CAPLUS

DOCUMENT NUMBER: 144:114084

TITLE: Influence of cyclodextrin complexation on

piroxicam gel formulations

Jug, Mario; Becirevic-Lacan, Mira; Kwokal, Ana; AUTHOR (S):

Cetina-Cizmek, Biserka

Department of Pharmaceutics Faculty of Pharmacy and CORPORATE SOURCE:

Biochemistry, University of Zagreb, Zagreb, Croatia

Acta Pharmaceutica (Zagreb, Croatia) (2005), 55(3), SOURCE:

223-236

CODEN: ACPHEE; ISSN: 1330-0075 Croatian Pharmaceutical Society

DOCUMENT TYPE: Journal LANGUAGE: English

PUBLISHER:

The aim of this work was to evaluate the role of cyclodextrins

in topical drug formulations. Solid piroxicam (PX) complexes with  $\beta$ -

cyclodextrin ( $\beta$ -CD) and randomly methylated  $\beta$ -

cyclodextrin (RAMEB) were prepared by freeze-drying and

characterized using differential scanning calorimetry (DSC), x-ray powder diffractometry (XRPD), Fourier transform IR spectroscopy (FTIR) and near

IR spectroscopy (NIR). A phys. mixture of PX and cyclodextrins

was characterized by enhanced dissoln. properties compared to the dissoln. profile of the pure drug due to in situ complex formation. Formation of

the PX-cyclodextrin inclusion complex addnl. improved the drug dissoln. properties. Influence of CDs on drug permeation from the water dispersion and the prepared hydroxypropyl methylcellulose (HPMC) gels was

investigated. Permeation of the drug involved 3 consecutive processes: dissoln. of the solid phase, diffusion across the swollen polymer matrix and drug permeation through the membrane. Complexation increased PX diffusion by increasing the amount of diffusible species in the donor phase. Slower drug diffusion through the HPMC matrix was the rate limiting step

in the overall diffusion process. Possible interaction between the hydrophilic polymer and cyclodextrin may result in physicochem.

changes, especially in a change of rheol. parameters.

REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

1993:66852 CAPLUS

DOCUMENT NUMBER:

118:66852

TITLE:

Sucralfate-cyclodextrin complexes as

gastroprotective agents

INVENTOR (S):

Koslo, Randy J.; Farina, Vincent J.

PATENT ASSIGNEE(S): Bristol-Myers Co., USA

SOURCE:

U.S., 4 pp.

DOCUMENT TYPE:

CODEN: USXXAM

LANGUAGE:

Patent

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	AP:	PLICATION NO.	DATE
US 5164379 .	Α	19921117.	US	1991-734370	19910715
PRIORITY APPLN. INFO.:			US	1991-734370	19910715
AD The marking and a set in		6		3 1 1	

The gastroprotective effect of sucralfate is enhanced by complexation with  $\alpha$ -,  $\beta$ - or  $\gamma$ - cyclodextrin or

2-hydroxypropyl- $\beta$ - cyclodextrin. The complexes protect the gastric mucosa against injury from EtOH or nonsteroidal inflammation inhibitors. A complex was prepared by stirring for 3-4 days a solution of 1.0759 g  $\alpha$ - cyclodextrin and 2.3076 g sulfacrate in 250 g water, followed by freeze drying.

L12 ANSWER 3 OF 4 MEDLINE on STN

ACCESSION NUMBER: 2005686558 MEDLINE DOCUMENT NUMBER: PubMed ID: 16375834

TITLE: Influence of cyclodextrin complexation on

piroxicam gel formulations.

AUTHOR: Jug Mario; Becirevic-Lacan Mira; Kwokal Ana; Cetina-Cizmek

Biserka

CORPORATE SOURCE: Department of Pharmaceutics Faculty of Pharmacy and

Biochemistry University of Zagreb, Zagreb, Croatia..

mjug@pharma.hr

SOURCE: Acta pharmaceutica (Zagreb, Croatia), (2005 Sep) Vol. 55,

No. 3, pp. 223-36.

Journal code: 9303678. ISSN: 1330-0075.

PUB. COUNTRY: Croatia

DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)

LANGUAGE: English

FILE SEGMENT: Priority Journals

ENTRY MONTH: 200601

ENTRY DATE: Entered STN: 27 Dec 2005

Last Updated on STN: 21 Jan 2006 Entered Medline: 20 Jan 2006

The aim of this work was to evaluate the role of cyclodextrins AB in topical drug formulations. Solid piroxicam (PX) complexes with betacyclodextrin (beta-CD) and randomly methylated betacyclodextrin (RAMEB) were prepared by freeze-drying and characterized using differential scanning calorimetry (DSC), X-ray powder diffractometry (XRPD), Fourier transform infrared spectroscopy (FTIR) and near infrared spectroscopy (NIR). A physical mixture of PX and cyclodextrins was characterized by enhanced dissolution properties compared to the dissolution profile of the pure drug due to in situ complex formation. Formation of the PX-cyclodextrin inclusion complex additionally improved the drug dissolution properties. of CDs on drug permeation from the water dispersion and the prepared hydroxypropyl methylcellulose (HPMC) gels was investigated. Permeation of the drug involved three consecutive processes: dissolution of the solid phase, diffusion across the swollen polymer matrix and drug permeation through the membrane. Complexation increased PX diffusion by increasing the amount of diffusible species in the donor phase. Slower drug diffusion through the HPMC matrix was the rate limiting step in the overall diffusion process. Possible interaction between the hydrophilic polymer and cyclodextrin may result in physicochemical changes, especially in a change of rheological parameters.

L12 ANSWER 4 OF 4 MEDLINE ON STN
ACCESSION NUMBER: 2000106891 MEDLINE
DOCUMENT NUMBER: PubMed ID: 10644075

TITLE: Application of supercritical carbon dioxide for the

preparation of a piroxicam-beta-cyclodextrin

inclusion compound.

AUTHOR: Van Hees T; Piel G; Evrard B; Otte X; Thunus L; Delattre L

CORPORATE SOURCE: Department of Pharmaceutical Technology, Institute of

Pharmacy, University of Liege, Belgium.

SOURCE: Pharmaceutical research, (1999 Dec) Vol. 16, No. 12, pp.

1864-70.

Journal code: 8406521. ISSN: 0724-8741.

PUB. COUNTRY: United States

DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)

LANGUAGE: English

FILE SEGMENT: Priority Journals

ENTRY MONTH: 200002

ENTRY DATE: Entered STN: 14 Mar 2000

Last Updated on STN: 14 Mar 2000 Entered Medline: 29 Feb 2000

PURPOSE: Piroxicam is a poorly soluble NSAID, whose solubility is enhanced AB when included into beta-cyclodextrin. The preparation of a piroxicam-beta-cyclodextrin inclusion compound using supercritical CO2 was investigated. METHODS: The solubility and the stability of piroxicam in supercritical CO2 were determined. Then, the influence of the temperature, the pressure and the time of exposure on the inclusion rate was studied. RESULTS: The solubility of piroxicam varied over a wide range depending on the temperature and pressure (from 0.006 to 1.500 mg/g of CO2). The temperature and the time of exposure had a great influence on the inclusion yield, while pressure did not and a complete inclusion was achieved by keeping a physical mixture of piroxicam and beta-cyclodextrin (1:2.5 mol/mol) for 6 hours at 150 degrees C and 15 MPa of CO2. This complex was characterized by Differential Scanning Calorimetry, differential solubility and Fourier Transform Infrared Spectrometry. CONCLUSIONS: Supercritical carbon dioxide may prove to be a novel useful complexation method of drugs into betacyclodextrin.

L14 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2007:198129 CAPLUS

DOCUMENT NUMBER: 146:281100

TITLE: Expandable medical devices with Parylene C und

paclitaxel coating

INVENTOR (S): Sellin, Lothar; Han, Bock-Sun; Voss, Hans Dieter;

Jilinski, Jakob

Germany PATENT ASSIGNEE(S):

SOURCE: Ger. Offen., 10pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent German LANGUAGE:

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE <u>----</u> ---------------DE 102005039126 A1 20070222 DE 2005-102005039126 20050818 DE 2005-102005039126 20050818 PRIORITY APPLN. INFO.:

The invention concerns an expandable medical good, e.g. blood vessel-diluting balloon catheters that are coated with Parylene C and/or with aloe extract and paclitaxel. Addnl. drugs and other substances can be included in the coating layer. Thus a chromium-cobalt PTCA stent was spray-coated with a methanolic solution of Aloe Vera extract and paclitaxel.

L14 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:292462 CAPLUS

DOCUMENT NUMBER: 144:338236

TITLE: Method and device for coating medical goods using

ultrasound spraying

INVENTOR(S): Sellin, Lothar; Han, Bock-Sun

PATENT ASSIGNEE(S): Germany

SOURCE: Ger. Offen., 13 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

KIND DATE PATENT NO. APPLICATION NO. DATE --------------DE 102004038396 A1 20060330 DE 2004-102004038396 20040806 DE 2004-102004038396 PRIORITY APPLN. INFO.: 20040806

The invention concerns a method and apparatus for coating medical goods by (a) placing the medical good in a vacuum chamber; (b) preparing a solution of the coating substance and placing it into a container in the chamber; (c) applying vacuum; (d) nebulizing the solution using ultrasound and directing it onto the medical good for coating; and (e) airing the chamber and removing the coated medical good. Coating materials are polymers and drugs; they are dissolved in organic solvents. Catheters, prosthetic materials, especially stents, endoscopes, tubes, implants, fibers, hollow fibers, syringes, surgical tools, sutures, dressings, microtiter plates, chromatog. stationary phases, chips, membranes, pacemakers, and valves can be coated.

REFERENCE COUNT: THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2007 ACS on STN

1990:618259 CAPLUS 113:218259 ACCESSION NUMBER:

DOCUMENT NUMBER:

TITLE: Dissolution enhancement of drugs by adsorption on

polymers or inorganic compounds

INVENTOR (S): Lovrecich, Mara Lucia

Vectorpharma International S.p.A., Italy PATENT ASSIGNEE(S):

Eur. Pat. Appl., 17 pp. SOURCE:

CODEN: EPXXDW

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PA	PENT NO.			KINI	)	DATE		API	PLICATION	NO.		DATE
EP	371431			A2	•	1990	0606	EP	1989-121	.865	-	19891127
EP	371431			A3		1991	1009					
EP	371431			B1		1995	0621					
	R: AT,	BE,	CH,	DE,	ES	FR,	GB,	GR, I	r, LI, LU	J, NL, SE	Ξ	
DK	8905958			A		1990	0529	DK	1989-595	8		19891127
DK	175684			B1		2005	0117					
HU	52366			A2		1990	0728	HU	1989-619	1		19891127
HU	203468			В		1991	0828					•
SU	1837868			A3		1993	0830	SU	1989-474	2518		19891127
IL	92454			Α		1994	0624	IL	1989-924	54		19891127
ES	2076192			T3		1995	1101	ES	1989-121	.865		19891127
CA	2004064			A1		1990	0528	CA	1989-200	4064		19891128
CA	2004064			С		2000	0208					
JP	02184621			A		1990	0719	JP	1989-308	833		19891128
US	5354560			Α		1994	1011	US	1992-827	496		19920130
RU	2097027			C1		1997	1127	RU	1992-505	2176		19920716
US	5449521			Α		1995	0912	US	1994-203	034		19940228
PRIORITY	Y APPLN.	INFO	. :					IT	1988-227	70	Α	19881128
								US	1989-441	.969	B1	19891128
								US	1992-827	496	A3	19920130
				-		-						

Drugs with an increased dissoln. rate are prepared by (1) mixing the drug with a support material under dry conditions, (2) grinding the mixture in a mill with its grinding chamber saturated with the vapor of ≥1 solvent able to solubilize the drug or to be adsorbed on the surface of the support material, (3) vacuum-drying the product obtained, and (4) sieving. The drugs obtained in this manner have a reduced heat of fusion, a reduced m.p., increased dissoln. rate, and an increased solubilization kinetics. Piroxicam and  $\beta$ - cyclodextrin mixture (1:2) were sieved and mixed together, then the mixture was grinded and heated for 1 h under vacuum. The grinding continued for 1 h under CH2Cl2 vapor. The resultant powder was dried and sieved. The dissoln. rate of the powder after 120 min was 3.68 as compared to 0.169 μg/mL for the control.

L16 ANSWER 1 OF 13 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:1030441 CAPLUS

DOCUMENT NUMBER: 145:404148

TITLE: Diindolylmethane-based compositions and methods of use

thereof for promoting oral mucosal and bone health

INVENTOR(S): Zeligs, Michael A.
PATENT ASSIGNEE(S): Bioresponse, L.L.C., USA

SOURCE: PCT Int. Appl., 96pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PAT	PATENT NO.						KIND DATE		APPLICATION NO.					DATE				
						-									-			
	2006				A2		2006	1005	1	WO 2	006-1	US11	465	20060328				
WO	2006	1051:	96		A3		2007	0315										
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		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KM,	KN,	KP,	KR,	
		ΚZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	
		MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	
		SG,	SK,	SL,	SM,	SY,	ТJ,	TM,	TN,	TR,	TT,	TZ,	·UA,	ŪĠ,	US,	UZ,	VC,	
		VN,	YU,	ZA,	ZM,	ZW												
	RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,	
		IS,	ΙΤ,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	
		CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG,	BW,	GH,	
		GM,	KΕ,	LS,	MW,	MZ,	NA,	SD,	SL,	SΖ,	TZ,	ŪĠ,	ZM,	ZW,	AM,	ΑZ,	BY,	
		KG,	ΚZ,	MD,	RU,	ТJ,	TM											
US :	US 2006264497				A1		2006	1123	1	US 2	006-3	3928	40		20060328			
PRIORITY	PRIORITY APPLN. INFO.:									US 2005-666255P				P 20050328				
									1	US 2	006-1	7761	22P	1	P 2	00602	222	

OTHER SOURCE(S): MARPAT 145:404148

The present invention includes compns. and methods for the treatment and prevention of oral mucosal disorders and for promotion of bone health. particular, the present invention describes new therapeutic and preventative uses for 3,3'-diindolylmethane (DIM), or a DIM-related indole, alone or in combination with anti-inflammatory agents and/or antibacterial agents, to treat oral mucosal disorders and promote bone health. The compns, of the invention are used to prevent and reverse oral mucosal disorders and bone loss (osteopenia and osteoporosis) associated with aging and chronic inflammation. Oral mucosal disorders include Periodontitis, gingivitis and related oral mucosal inflammation. Formulations of the compns. of the invention include capsules, tablets, toothpastes, oral gels, mouthwashes, mouth rinses, lozenges, chewing gum, dental floss, and dental topical formulations, and fortified foods. Capsules containing 150 mg diindolylmethane and 30 mg resveratrol were prepared Treatment of gingivitis in a woman with rheumatoid arthritis by 50 mg DIM twice daily is reported.

L16 ANSWER 2 OF 13 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:980087 CAPLUS

DOCUMENT NUMBER: 145:342506

TITLE: Controlled release implant comprising biocompatible

polymer for ocular delivery

INVENTOR(S): Dadey, Eric; Lindemann, Christopher M.; Warren,

Stephen L.; Norton, Richard L.

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 36pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 2006210604	A1	20060921	US 2005-244438		20051004
PRIORITY APPLN. INFO.:			US 2004-615727P	P	20041004
			US 2004-628630P	₽	20041117
			US 2004-629133P	Ρ	20041118

AB The present invention provides a flowable composition suitable for use as a controlled-release implant. The flowable composition can be administered into the ocular region of a mammal. The composition includes: (a) a biodegradable, biocompatible thermoplastic polymer that is at least substantially insol. in aqueous medium, water or body fluid; (b) a biol. agent, a metabolite thereof, a biol. agent acceptable salt thereof, or a prodrug thereof; and (c) a biocompatible organic liquid, at standard temperature and pressure, in which the

thermoplastic polymer is soluble The present invention also provides methods of medical treatment that include administering the flowable composition into the ocular region of a mammal. For example, Atrigel intravitreal injection was prepared containing poly(lactide-co-glycolide) 15% in PEG.

L16 ANSWER 3 OF 13 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:795811 CAPLUS

DOCUMENT NUMBER: 145:235791

TITLE: Method and device for ophthalmic administration of

active pharmaceutical ingredients

active pharmaceutical ingredients

INVENTOR(S): Gross, Yossi; Herzog, Rafi; Koevary, Steven B.

PATENT ASSIGNEE(S): Pharmalight Inc., USA SOURCE: PCT Int. Appl., 127pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATI	ENT 1	NO.			KIN	D	DATE			APPL	ICAT	ION I	NO.		D	ATE		
						-							<b>-</b>		_			
WO 2	2006	0825	88		A2		2006	0810	,	WO 2	006-	IL14	5		20060206			
WO 2	2006	0825	88		A3		2007	0104										
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		GΕ,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	KN,	KP,	KR,	
		ΚZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	ΜA,	MD,	MG,	MK,	MN,	MW,	MX,	
		MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	
		SG,	SK,	SL,	SM,	SY,	ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	
		VN,	ΥU,	ZA,	ZM,	zw												
	RW:	ΑT,	ΒE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	İΕ,	
		IS,	IT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	
		CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	TG,	BW,	GH,	
		GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	ŪĠ,	ZM,	ZW,	AM,	ΑZ,	BY,	
		KG,	ΚZ,	MD,	RU,	TJ,	TM											
RITY APPLN. INFO.:				. :					1	US 2	005-	65014	44P	1	P 20	00501	207	

US 2005-742870P P 20051207

AB Disclosed is the use of a mist of a pharmaceutical composition for ophthalmic delivery of a protein or peptide active pharmaceutical ingredient, a related method of treatment and a device useful in implementing the use and method. Disclosed is also the use of a mist for ophthalmic delivery of a pharmaceutical composition including a highly irritating penetration enhancer and a carrier, a related method of treatment and a device useful in implementing the use and method. Disclosed is also a device for ophthalmic administration configured to direct a mist of a pharmaceutical composition to the eye only when the eye is open. Disclosed is also a self-sterilizing device for ophthalmic administration. Disclosed is also

a device and a method for increasing the bioavailability of an ophthalmically administered drug in a pharmaceutical composition

L16 ANSWER 4 OF 13 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:1073649 CAPLUS

DOCUMENT NUMBER: 143:373282

TITLE: Method for preparation of a soluble inclusion compound

of active substances in a host molecule with the

assistance of supercritical fluid

INVENTOR(S): Freiss, Bernard; Marciacq, Florence; Lochard, Hubert

PATENT ASSIGNEE(S): Pierre Fabre Medicament, Fr.

SOURCE: Fr. Demande, 23 pp.

CODEN: FRXXBL DOCUMENT TYPE: Patent

LANGUAGE: Patent French

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.					KIN	D	DATE			APPL	ICAT:	ION I	NO.		D.	ATE		
						-									-			
FR	2868	315			A1		2005	1007		FR 2	004-3	3450			2	0040	401	
FR	2868	315			В1		2006	0714										
CA	2563	101			A1		2005	1020	•	CA 2	005-	2563	101		2	0050	329	
WO	2005	0972	01		A2		2005	1020	1	WO 2	005-1	FR73	9		2	0050	329	
WO	2005	0972	01		A3		2006	0817										
	W:	AE,	AG,	AL,	AM,	ΑT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	ΒZ,	CA,	CH,	
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		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,	
	NO, NZ, OM, PO					PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	
		SY,	TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW
	RW:	BW,																
							RU,											
							GR,											
							BF,											
		MR,	NE,	SN,	TD,	TG										•		
EP	EP 1729813 A2 200612							1213	]	EP 2	005-	7445	79		2	0050	329	
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		IS,	IT,	LI,	LT,	LU,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	AL,	BA,	
			LV,													•	·	
PRIORITY APPLN. INFO.:										FR 2	004-3	3450			A 2	00404	401	
							FR 2	004-:	1120	1	1	A 2	0041	021				

AB A method of preparation of a soluble inclusion compound containing one or more active

WO 2005-FR739

W 20050329

substances insol. in an aqueous medium included in one or more hosts mols., characterized in that it comprises the following successive stages: (A) put in contact of one or more active substances with one or more mols. hosts, (b) stage of mol. diffusion by setting in contact, of a dense fluid under pressure with the mixture obtained at the stage (A) in the presence of one or more diffusion agents, (c) recovery of the mol. complex of active substance-host mol. thus trained, (d) adding and mixing an interaction agent with the mol. complex of active substance- host mols., (e) recovery of soluble inclusion compound thus formed. Piroxicam- $\beta$ -cyclodextrin inclusion compound was prepared according to above method.

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 5 OF 13 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:983763 CAPLUS

DOCUMENT NUMBER: 143:272537

TITLE: Combination of loteprednol etabonate and tobramycin

for topical ophthalmic use

INVENTOR(S):

Krishnamoorthy, Ramesh

PATENT ASSIGNEE(S):

Bausch & Lomb Incorporated, USA

SOURCE:

U.S. Pat. Appl. Publ., 6 pp., Cont.-in-part of U.S. Ser. No. 698,322.

CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATE	ENT 1	NO.			KIN	) :	DATE		1	APPL	ICAT:	ION I	NO.		D	ATE	
						-									-		
US 2	2005	1973	03				2005	0908	1	US 2	005-	4935	5		_	0050	
US 2	2005	0952	05		A1		2005	0505	1	US 2	003-	5983	22		2	0031	031
WO 2	2006	08384	<del>1</del> 0		<b>A1</b>		2006	0810	1	WO 2	006-1	JS33	62		2	0060	131
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	ΒZ,	CA,	CH,
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	KN,	KP,	KR,
	KZ, LC, LK,			LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	
	MZ, NA, NG,			NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	
		SG,	SK,	SL,	SM,	SY,	TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,
		VN,	YU,	ZA,	ZM,	ZW		•		•							
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		ıs,	IT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,
		CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG,	BW,	GH,
	CF, CG, CI, GM, KE, LS,					•					•			-	-	-	-
	KG, KZ, MD						•	•	•	•	•	•		•	•	•	•
PRIORITY	RIORITY APPLN. INFO.:								1	US 2	003-	5983	22		A2 2	0031	031
									1	US 2	005-4	1935	5		A 2	0050	201

AB This invention relates to formulations for topical use comprising antibiotics in combination with anti-inflammatory steroids for treating ophthalmic infections and attendant inflammation. More specifically, this invention relates to pharmaceutical ophthalmic formulations comprising a pH stabilizing amount of an aminoglycoside and a steroid in a pharmaceutically acceptable vehicle.

L16 ANSWER 6 OF 13 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

2003:511859 CAPLUS

DOCUMENT NUMBER:

139:90459

TITLE:

Use of an immediate-release powder in pharmaceutical

and nutraceutical compositions Besse, Jerome; Besse, Laurence

INVENTOR(S): PATENT ASSIGNEE(S):

SOURCE:

U.S. Pat. Appl. Publ., 5 pp.

CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PA	PATENT NO.					D :	DATE			APPL:	ICAT:	ION I	. 01		D	ATE	
						-									-		
US	2003	1241	91		A1		2003	0703	•	US 2	002-	1069	23		2	0020	325
FR	2834	212			<b>A1</b>		2003	0704		FR 20	001-	1693	4		2	0011	227
FR	2834212 B1						2004	0709									
CA	A 2471903 A1						2003	0710	1	CA 2	002-	2471	903		2	0021	227
WO					A1		2003	0710	,	WO 2	002-	FR45	75		2	0021	227
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,
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		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	LK,	LR,
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	OM,	PH,
		PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	TJ,	TM,	TN,	TR,	TT,	TZ,
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             CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
    AU 2002364489
                                20030715
                                            AU 2002-364489
                                                                    20021227
                          A1
                                20040922
                                            EP 2002-799854
                                                                    20021227
    EP 1458356
                          A1
            AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK
                                                                    20021227
     BR 2002015380
                          Α
                                20041207
                                            BR 2002-15380
                                            US 2003-500213
     US 2005118272
                          A1
                                20050602
                                                                    20021227
                          Т
     JP 2005520799
                                20050714
                                            JP 2003-556042
                                                                    20021227
    HU 200500509
                                            HU 2005-509
                          A2
                                20050928
                                                                    20021227
    NO 2004003172
                          Α·
                                20040914
                                            NO 2004-3172
                                                                    20040726
PRIORITY APPLN. INFO.:
                                            FR 2001-16934
                                                                 A 20011227
                                            WO 2002-FR4575
                                                                 W 20021227
     The present invention relates to the use of a powder comprising at least
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AB one active substance, at least one surfactant, at least one wetting agent and at least one diluent, for preparing a pharmaceutical or nutraceutical composition, this composition allowing rapid and immediate release of the

substance. Granules containing phloroglucinol 10, sorbitol 89, and propylene glycol 1% were prepared

L16 ANSWER 7 OF 13 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2003:147945 CAPLUS

DOCUMENT NUMBER: 138:193283

TITLE: Pharmaceutical powder compositions containing

water-soluble active ingredients and alkylsiloxylated

silicate compounds

INVENTOR (S): Horie, Masahiko; Hattori, Masahiro; Kakihara,

Kenichiro; Tanaka, Hiroaki

PATENT ASSIGNEE(S): Taiyo Sangyo K. K., Japan; New Hair Keshoka Honpo Co.,

> Ltd.; Miyako Kagaku Co., Ltd. Jpn. Kokai Tokkyo Koho, 5 pp.

CODEN: JKXXAF

DOCUMENT TYPE:

SOURCE:

Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2003055264	A·	20030226	JP 2001-277261	20010809
PRIORITY APPLN. INFO.:			JP 2001-277261	20010809

AB The invention relates to a pharmaceutical powder composition which easily shows a liquid form with small pressure, suitable for storage in a powder form and administration in a liquid form, wherein the composition contains water-soluble active ingredient powder with/without of cyclodextrin or adsorbent, a liquid component, and an alkylsiloxylated silicate compound Trimethylsiloxy silicate 7 g was mixed with a solution containing indomethacin 1,

ethanol 3, glycerin 3 and water 100 % 93 g in a high-speed mixer to obtain a powder composition The powder became liquid when touched with fingers.

L16 ANSWER 8 OF 13 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:185616 CAPLUS

DOCUMENT NUMBER: 136:252482

TITLE: Preparation of aqueous clear solution dosage forms

with bile acids

INVENTOR(S): Yoo, Seo Hong

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 35 pp., Cont.-in-part of U.S.

6,251,428.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 5

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
110 2002021550	71	20020214	US 2001-778154	-	20010205
US 2002031558	A1	20020314			
US 6251428	B1	20010626	US 1999-357549		19990720
US 2003186933	Al	20031002	US 2002-309603		20021204
US 7166299	B2	20070123			
US 2005158408	A1	20050721	US 2004-996945		20041124
AU 2006203315	<b>A1</b>	20060824	AU 2006-203315		20060803
US 2007072828	A1	20070329	US 2006-522162		20060915
PRIORITY APPLN. INFO.:			US 1998-94069P	P	19980724
			US 1999-357549	A2	19990720
			US 2000-180268P	P	20000204
			AU 2001-36685	<b>A3</b>	20010205
			US 2001-778154	<b>A3</b>	20010205
			US 2004-996945	A2	20041124

AB Compns. for pharmaceutical and other uses comprise clear aqueous solns. of bile acids which do not form any detectable ppts. over selected ranges of pH values of the aqueous solution The compns. comprise (i) water, (ii) a bile acid component in the form of a bile acid, bile acid salt, or a bile acid conjugated with an amine by an amide linkage; and (iii) either or both an aqueous soluble starch conversion product and an aqueous soluble non-starch polysaccharide. The composition remains in solution without forming a precipitate over a

range of pH values and, according to one embodiment, remains in solution for all pH values obtainable in an aqueous system. The composition may further contain

a pharmaceutical compound, such as insulin, heparin, bismuth compds., amantadine and rimantadine. For example, solution dosage forms that did not show any precipitation at any pH were prepared containing ursodeoxycholic acid (UDCA) 22

g, 1N NaOH 75 mL, chenodeoxycholic acid (CDCA) 3 g, maltodextrin 875 g, bismuth citrate 4 g, citric acid or lactic acid as needed, and purified water to make 1 L.

L16 ANSWER 9 OF 13 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2000:56488 CAPLUS

DOCUMENT NUMBER: 132:339207

TITLE: Preparation and characterization of piroxicam

alkali-salt  $\gamma$ - cyclodextrin complexes

AUTHOR(S): Vikmon, M.; Kolbe, I.; Szejtli, J.; Redenti, E.;

Ventura, P.

CORPORATE SOURCE: CYCLOLAB Cyclodextrin Research and Development

Laboratory Ltd., Budapest, Hung.

SOURCE: Proceedings of the International Symposium on

Cyclodextrins, 9th, Santiago de Comostela, Spain, May 31-June 3, 1998 (1999), Meeting Date 1998, 281-284. Editor(s): Labandeira, J. J. Torres; Vila-Jato, J. L.

Kluwer Academic Publishers: Dordrecht, Neth.

CODEN: 68NHAE

DOCUMENT TYPE: Conference LANGUAGE: English

AB Piroxicam sodium-, potassium- and ammonium salts form complexes with  $\gamma \text{CD}$  by precipitation from even highly alkaline solution, giving stoichiometric

compds. in crystalline state with good yields. The stoichiometry of the complexes corresponds to 1:1 molar ratio of piroxicam to  $\gamma CD$ . Powder x-ray diffractometry showed the complex formation in solid state, the diffraction patterns of the complexes are clearly distinct from that of the superposition of the components. The aqueous solubility of different cation-containing complexes was comparable, and 1.2-1.4 mg/mL and dissolved

piroxicam could be measured.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 10 OF 13 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1998:293427 CAPLUS

DOCUMENT NUMBER: 129:8597

TITLE: Embedding and encapsulation of controlled release

particles

INVENTOR(S): Van Lengerich, Bernhard H.

PATENT ASSIGNEE(S): Van Lengerich, Bernhard H., USA

SOURCE: PCT Int. Appl., 63 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

						APPLICATION NO.	DATE
	9818610			A1		WO 1997-US18984	19971027
						FR, GB, GR, IE, IT,	LU. MC. NL. PT. SE
CA			-	-		CA 1997-2269806	
	2269806				20060124		
						AU 1997-49915	19971027
AU	744156			B2	20020214		
						EP 1997-912825	19971027
EP	935523			B1	20040929		
	R: AI	', BE,	CH,	DE,	DK, ES, FR,	GB, GR, IT, LI, LU,	NL, SE, MC, PT,
	IE						
						JP 1998-520558	
EP	1342548			A1	20030910	EP 2003-10031	19971027
	R: AI			DE,	DK, ES, FR,	GB, GR, IT, LI, LU,	NL, SE, MC, PT,
				T	20041015	AT 1997-912825	10071027
DT.	191399			B1	20041013	PL 1997-333095	19971027
					19990428		
PRIORIT					13330420	US 1996-29038P	
			• •			US 1997-52717P	
						EP 1997-912825	Δ3 19971027
						WO 1997-US18984	
		-				1557 0010501	

AB Controlled release, discrete, solid particles which contain an encapsulated and/or embedded component such as a heat sensitive or readily oxidizable pharmaceutically, biol., or nutritionally active component are continuously produced without substantial destruction of the matrix material or encapsulant. A release-rate controlling component is incorporated into the matrix to control the rate of release of the encapsulant from the particles. The addnl. component may be a hydrophobic component or a high water binding capacity component for extending the release time. The plasticizable matrix material, such as starch, is admixed with at least one plasticizer, such as water, and at least one release-rate controlling component under low shear mixing conditions to plasticize the plasticizable material without substantially destroying the at least one plasticizable material and to obtain a substantially homogeneous plasticized mass. The plasticizer content is substantially reduced and the temperature of the plasticized mass is substantially reduced prior to admixing the plasticized mass with the encapsulant to avoid substantial destruction of the encapsulant and to obtain a formable, extrudable mixture The mixture is extruded though a die without substantial or essentially no expansion and cut into discrete, relatively dense particles. Release properties may also be controlled by precoating the encapsulant and/or coating the extruded particles with a film-forming component. An example of encapsulation of acetylcysteine is given using

starch, polyethylene, glycerol monostearate, and vegetable oil.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 11 OF 13 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1998:282324 CAPLUS

DOCUMENT NUMBER: 128:326537

TITLE: Suspension of loteprednol etabonate for ear, eye, or

nose treatment

INVENTOR(S): Amselem, Shimon; Friedman, Doron

PATENT ASSIGNEE(S): Pharmos Corp., USA

SOURCE: U.S., 8 pp., Cont.-in-part of U.S. 5,540,930.

CODEN: USXXAM

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5747061	A	19980505	US 1996-688157	19960729
US 5540930	Α	19960730	US 1993-142743	19931025
CA 2174550	A1	19950504	CA 1994-2174550	19941021
CA 2174550	C	20021001		
HU 74882	A2	19970228	HU 1996-1081	19941021
PT 730443	T	20021129	PT 1994-930831	19941021
ES 2179851	Т3	20030201	ES 1994-930831	19941021
IL 111402	Α	20001206	IL 1994-111402	19941025
IORITY APPLN. INFO.:			US 1993-142743	A2 19931025

AB The invention provides novel compns. of matter for delivering water-insol. steroid drugs suitable for therapeutic use. The invention also provides stable aqueous suspensions of water-insol. steroid drugs of particle sizes of ≤30 µm which remain in such a state so as to allow for immediate suspension, when desired, even after extended periods of settling. An aqueous ophthalmic suspension was formulated containing PVP 0.6, glycerin 2.4, tyloxapol 0.3, edetate disodium 0.01, benzalkonium chloride 0.01, and loteprednol etabonate 0.5 %. The suspension was evaluated on patients having giant papillary conjunctivitis, allergic conjunctivitis, and acute anterior uveitis. The composition was well tolerated in all patients and was significantly more effective than the vehicle itself, which was used as a placebo, with regard to the reduction of signs and symptoms of ocular inflammation.

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 12 OF 13 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1994:564006 CAPLUS

DOCUMENT NUMBER: 121:164006

TITLE: Pharmaceutical compositions including a drug, a

crosslinked polymeric substance, an oil, and a surface

active agent.

INVENTOR(S): Carli, Fabio; Lombardi, Daniela; Esposito, Pierandrea;

Dobetti, Luca; Boltri, Luigi

PATENT ASSIGNEE(S): Vectorpharma International S.P.A., Italy

SOURCE: Eur. Pat. Appl., 12 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 598337	A2	19940525	EP 1993-118278	19931111

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EP 598337
                                19950614
                          Α3
     EP 598337
                          B1
                                19990414
         R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, PT
     AT 178787
                          Т
                                19990415
                                            AT 1993-118278
                                                                    19931111
     ES 2132162
                          Т3
                                19990816
                                            ES 1993-118278
                                                                    19931111
     US 6107276
                          Α
                                20000822
                                            US 1997-997463
                                                                    19971223
PRIORITY APPLN. INFO.:
                                             IT 1992-MI2603
                                                                 A 19921113
                                            US 1993-150227
                                                                 B1 19931110
                                            US 1995-528597
                                                                 A1 19950915
AB
     Pharmaceutical compns. including a slightly soluble drug incorporated in a
     water-swellable, but water-insol. cross-linked polymer, a surface active
     agent, and an oil show much improved dissoln. and, consequently,
     bioavailability in respect to the drug as is or used with a polymeric
     carrier of said type. Ubidecarenone was dissolved in a 50% mixture of Lexol
     PG 865 and Tween 80 and the solution thus obtained was added at 50° to
     crospovidone so as to secure a drug/polymer ratio equal to 1:3 by weight and
     the product obtained was allowed to stand at room temperature for 24 h.
L16 ANSWER 13 OF 13 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER:
                         1992:429142 CAPLUS
DOCUMENT NUMBER:
                         117:29142
TITLE:
                         Cyclodextrin compositions for pharmaceutical
                         and industrial applications
INVENTOR(S):
                         Coates, John Hewlett; Easton, Christopher John;
                         Lincoln, Stephen Frederick; Van Eyk, Stephen John;
                         May, Bruce Lindley; Williams, Michael Lloyd; Brown,
                         Susan Elizabeth; Lepore, Angelo; Liao, Ming Long; et
                         al.
PATENT ASSIGNEE(S):
                         Australian Commercial Research and Development Ltd.,
                         Australia
SOURCE:
                         PCT Int. Appl., 179 pp.
                         CODEN: PIXXD2
DOCUMENT TYPE:
                         Patent
                         English
LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
     PATENT NO.
                         KIND
                                            APPLICATION NO.
                                                                    DATE
                                DATE
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									-			
WO	9113100		A1	. 199	10905	WO 1	.991-A	.U71		_	19910	301
	W: AT	, AU, BB,	BG,	BR, CA	CH,	DE, DK,	ES,	FI, G	B, HU	, JI	P, KP,	KR,
		, LU, MC,										•
		, BE, BF,	-	-			•	•	•		3, GR,	IT,
	LU	, ML, MR,	NL,	SE, SN	I, TD,	TG						
UA	9174531		A	199	10918	AU 1	991-7	4531			19910	301
EP	518930		A1									
		, BE, CH,										
ES												031
		<pre>INFO.:</pre>						899				
								993				
								344		A		
						AU 1	990-9	373		Α	19900	329
								756		Α		
						AU 1	990-1	538	•			803
						AU 1	990-1	755		A	19900	816
						AU 1	990-2	269		Α	19900	912
								596		Α	19901	129
						AU 1	990-3	624		Α	19901	130
	•					AU 1	991-4	284		Α	19910	121
						AU 1	991-4	603		Α	19910	214
						AU 1	991-4	856		Α	19910	227
						WO 1	991-A	.U71		Α	19910	301
AB Cy	clodextr	in derivs	. for	cming s	oluble	e, stabl	e inc	lusio	n comp	olex	ces	

Cyclodextrin derivs. forming soluble, stable inclusion complexes and covalent compds. with drugs, agrochems., etc. are prepared An  $\alpha$ -

cyclodextrin 6-tosylate was treated with NaN3, hydrogenated to the 6-amino-6-deoxy derivative, and condensed with ibuprofen to give a drug for ibuprofen delivery. The cyclodextrin derivs. and their inclusion complexes can also be used for the chromatog. separation of enantiomers from racemic mixts.

L18 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:1206084 CAPLUS

DOCUMENT NUMBER: 145:511770

TITLE: Total surface coating of medical goods, especially

implants with two layers

Horres, Roland; Hoffmann, Michael; Linssen, Marita; INVENTOR(S):

Hoffmann, Erika; Caspers, Roger; Styrnik, Michaela

Hemoteg G.m.b.H., Germany PATENT ASSIGNEE(S):

Ger. Offen., 14pp. SOURCE:

CODEN: GWXXBX

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE --------------DE 102005021622 A1 20061116 DE 2005-102005021622 20050505 PRIORITY APPLN. INFO.: DE 2005-102005021622 20050505

The invention concerns the total surface coating of medical goods, especially implants with two layers of coating; the first layer covers partially or totally the surface, including gaps, pores, openings etc.; the second layer covers completely the surface, including gaps, pores, openings etc. in a way that the coating forms a confluent layer on the medical good. Coating can be performed by spraying and dipping. Coatings are polymer based; they can include active substances. Coated medical goods are meshes, tubes, spiral shaped objects, stents, catheters, canules, implants, etc. Thus a stent was spray coated with a 1% polyurethane solution; after drying, a second layer composed of 14% polyurethane in THF was applied by dip coating. After drying and tempering at 95°C the coated stent was rinsed with water and 0.5 M sodium hydroxide solution

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:902714 CAPLUS

DOCUMENT NUMBER: 143:235463

TITLE: Combination of proton pump inhibitor, buffering agent,

and nonsteroidal anti-inflammatory agent

INVENTOR(S):

Proehl, Gerald T.; Olmstead, Kay; Hall, Warren

PATENT ASSIGNEE(S): Santarus, Inc., USA PCT Int. Appl., 99 pp. SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO	PATENT NO.				DATE		2	APPL:	ICAT:	ION I	NO.		D	ATE		
				-									-			
WO 200507	76987		A2	:	2005	0825	1	WO 20	005-1	US37:	91		2	00502	204	
WO 200507	76987		<b>A3</b>	:	2006	0608										
W: A	Æ, AG,	AL,	AM,	AT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	ΒZ,	CA,	CH,	
C	CN, CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	
G	SE, GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	ΚP,	KR,	KZ,	LC,	
I	LK, LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,	
N	IO, NZ,	OM,	PG,	PH,	ΡL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	
T	J, TM,	TN,	TR,	TT,	ΤZ,	UA,	UG,	US,	UΖ,	VC,	VN,	ΥU,	ZA,	ZM,	ZW,	SM
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E	EE, ES,	FI,	FR,	GB,	GR,	HU,	IE,	IS,	IT,	LT,	LU,	MC,	NL,	PL,	PT,	
R	RO, SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	

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MR, NE, SN, TD, TG
    AU 2005213472 A1
                               20050825
                                           AU 2005-213472
                                                                  20050204
                              20050825
                                           CA 2005-2554271
                                                                  20050204
    CA 2554271
                         A1
                                                                  20050204
    US 2005249806
                         Α1
                               20051110
                                           US 2005-51260
                                                                  20050204
                               20061108
                                           EP 2005-722791
    EP 1718303
                         A2
            AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
            IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ; EE, HU, PL, SK,
            BA, HR, IS, YU
                                           US 2004-543636P
                                                               P 20040210
PRIORITY APPLN. INFO.:
                                           WO 2005-US3791
                                                              W 20050204
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Pharmaceutical compns. comprising a proton pump inhibitor, one or more AB buffering agent and a nonsteroidal anti-inflammatory drug are described. Methods are described for treating gastric acid-related disorders and treating inflammatory disorders, using pharmaceutical compns. comprising a proton pump inhibitor, a buffering agent, and a nonsteroidal anti-inflammatory drug. For example, a powder for suspension formulation contained omeprazole 20 mg, ibuprofen 400 mg, sodium bicarbonate 1895 mg, Xylitol 300 (sweetener) 2000 mg, sucrose (sweetener) 1750 mg, sucralose (sweetener) 125 mg, xanthan gum 17 mg, peach flavor 47 mg, and peppermint

L18 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN

2001:472523 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER:

135:66255

TITLE:

Liquid composition of a biodegradable block copolymer

for drug delivery system Seo, Min-hyo; Choi, In-ja

INVENTOR(S): PATENT ASSIGNEE(S):

Samyang Corp., S. Korea PCT Int. Appl., 37 pp.

SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PA	PATENT NO.					D	DATE		,	APP	LICAT	ION 1	NO.		D	ATE	
WC	2001	0457	42		A1		2001	0628		wo	2000-	KR15	08		2	0001	221
	W:	ΑE,	AG,	AL,	AM,	ΑT,	AU,	ΑZ,	BA,	BB	, BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,
		CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EE,	ES	, FI,	GB,	GD,	GE,	GH,	GM,	HR,
		HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP	, KZ,	LC,	LK,	LR,	LS,	LT,	LU,
		LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	ΜZ	, NO,	NZ,	PL,	PT,	RO,	RU,	SD,
		SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TR,	TT	, TZ,	UA,	UG,	US,	UZ,	VN,	YU,
		ZA,	zw														
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	BJ, CF, CO				CI,	CM,	GΑ,	GN,	GW,	ML	, MR,	NE,	SN,	TD,	TG		
KR	KR 2001063314						2001	0709		KR	1999-	6034	9		1:	9991	222
CA	2395	077			A1		2001	0628		CA	2000-	2395	077		2	0001	221
EP	1244	471			A1		2002	1002		EΡ	2000-	9890	05		2	0001	221
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		ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL	, TR						
JP	2003	5178	86		T		2003	0603	1	JP	2001-	5466	81		2	0001	221
	3614																
	7797															0001	221
US	US 2003082234								•	US	2002-	1690	12		2	0020	622
US	US 6916788				B2		2005	0712									
RIORIT	ORITY APPLN. INFO.:									KR	1999-	60349	9	1	A 1	9991	222
	The present invent:										2000-						
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The present invention relates to a liquid polymeric composition capable of AB forming a physiol. active substance-containing implant when it is injected into a living body and a method of preparation The composition comprises a water-soluble biocompatible liquid polyethylene glycol derivative, a biodegradable

block copolymer which is insol. in water but soluble in the water-soluble biocompatible liquid polyethylene glycol derivative and a physiol. active substance. Thus, a triblock copolymer was prepared from lactide-1,4-dioxanone and PEG. Piroxicam 150, the above biodegradable block copolymer 400, diacetyl polyethylene glycol 420, and gelatin 30 mg were dissolved in a 50% aqueous HOAc solution and the drug-containing liquid polymeric

composition was filtered and the organic solvent was removed.

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS REFERENCE COUNT: RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN

2000:190898 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 132:241943

Quick release oral pharmaceutical compositions TITLE:

INVENTOR(S): Bertelsen, Poul; Hansen, Nils Gjerlov; Ruckendorfer,

Hermann; Itai, Shigeru

PATENT ASSIGNEE(S): Nycomed Danmark A/S, Den. SOURCE: PCT Int. Appl., 88 pp.

CODEN: PIXXD2

Patent DOCUMENT TYPE: LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PAT	CENT I	NO.			KIN	D	DATE									I	ATE	
	₩0	2000	0151	95		7.1	-	2000	0333					DK48			-	9990	a1n
	***																	CR,	
		"				,												IL,	
																		MD,	
																		SK,	
									US,							50,	UI,	Jic,	JI,
		RW:	•	•		•			•	•		•	•	•		CH.	CV	DE,	DK.
				•		-	-					-	-		•			CF,	•
									MR,						J <u>L</u> ,	DI ,	20,	CI,	<b>CG</b> ,
	CA	2343	148	<b>U</b>	<b>U</b> ,	A1	· · · /	2000	0323	,	CA	199	99-1	2343	148		1	9990	910
	CA	2343	148			C		2005	1115								_		
		9955									AU	199	99-!	5504	5		1	9990	910
		1109																9990	910
		1109																	
		R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GF	٤, :	IT,	LI,	LU,	NL,	SE,	MC,	PT,
			IE,	SI,	LT,	LV,	FI,						•	•	•	•	•	·	•
	TR	2001 2002 2323 1109	0070	8		T2		2001	0723	1	TR	200	01-2	2001	00708	3	1	9990	910
	JP	2002	5244	92		T		2002	0806		JP	200	00-5	5697'	79		1	9990	910
	AT	2323	B2			T		2003	0215		$\mathtt{AT}$	199	99-9	9414:	18		1	9990	910
	PT	1109	534			T		2003	0630		PT	199	99-9	9414	18		1	9990	910
	ES	2190	241			Т3		2003	0716		ES	199	99-9	9414	18		1	9990	910
	US	6713	089			B1		2004	0330	•	US	200	01-	7868	54		2	0010	710
	US	2005	14766	68		A1		2005	0707	•	US	200	04-7	7582	33		. 2	0040	113
PRIO	IORITY APPLN. INFO.:			. :													9980		
															-		_	9990	
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AB The present invention relates to an oral modified release pharmaceutical composition for the administration of a therapeutically and/or prophylactically effective amount of a drug to obtain a relatively fast or quick onset of the therapeutic and/or prophylactic effect. The drugs contained in a modified release pharmaceutical composition are substances which have a very low solubility

under acidic conditions, i.e. under conditions similar to those present in the stomach and/or drugs which have a pKa value below about 5.5 such as in a range of from about 4 to about 5. The composition is based on a powder comprising a prophylactically active substance and has such a particle size that: when the powder is subjected to a sieve anal., then at least

about 90% of the particles passes through sieves 180 <mm and the powder is contacted with an aqueous medium to form a particulate composition, which has such

a particle size that when the particulate composition is subjected to a sieve anal., then at least about 50% of the particles passes through sieve 180 cmm. Furthermore, the composition, when tested in accordance with the dissoln. method (I) defined employing 0.07N HCl as dissoln. medium, releases at least about 50% of the active substance within the first 20 min of the test. Tablets were manufactured from ibuprofen 80.0, NaHCO3 400.0, Avicel PH-101 960.0, anhydrous calcium hydrogen phosphate 1104.0, L-HPC 480.0, hydroxypropyl cellulose 160.0, water 1080.0, EtOH 360.0 and calcium stearate 5.0 g/kg.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1996:444138 CAPLUS

DOCUMENT NUMBER: 125:96128

TITLE: Pharmaceutical composition comprising non-steroidal

anti-inflammatory drugs

INVENTOR(S): Penkler, Lawrence John; Glintekamp, Lueta Ann;

Nicholson, Douglas George Murray; Van Oudtshoorn,

Michiel Coenraad

PATENT ASSIGNEE(S): S. Afr.

SOURCE: PCT Int. Appl., 20 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KINI	DATE	APPLICATION NO.	DATE
WO 9614839	A1	19960523	WO 1995-GB2679	19951114
			CZ, DE, DK, ES, FI,	GB, HU, JP, LU,
•		RU, SE, UA, DK, ES, FR,	GB, IE, IT, NL, PT,	SE
ZA 9509469	A	19960515	ZA 1995-9469	19951108
CA 2205385	A1	19960523	CA 1995-2205385	19951114
AU 9538538	A	19960606	AU 1995-38538	19951114
AU 694577	B2	19980723		
EP 792147	A1	19970903	EP 1995-936694	19951114
EP 792147	B1	20040310		•
R: AT, BI	E, CH, DE,	DK, ES, FR,	GB, GR, IE, IT, LI,	LU, MC, NL, PT, SE
CN 1171738	A	19980128	CN 1995-197252	19951114
JP 10508835	T	19980902	JP 1995-515851	19951114
AT 261301	T	20040315	AT 1995-936694	19951114
US 5854226	A	19981229	US 1997-849059	19970515
PRIORITY APPLN. IN	· · · · · · · · · · · · · · · · · · ·		ZA 1994-9055	A 19941115
			. WO 1995-GB2679	W 19951114

AB A pharmaceutical composition for oral administration for the treatment of acute pain and inflammation comprises an inclusion complex of a non-steroidal anti-inflammatory drug or a pharmaceutically acceptable salt thereof and a cyclodextrin, and a physiol. acceptable alkali agent selected from the group consisting of alkali and alkaline earth metal carbonates, bicarbonates, phosphates and hydroxides, and water-soluble amines, in an amount equivalent to between 2 and 30 molar equivs. inclusive of the non-steroidal anti-inflammatory drug, the alkali agent being capable of forming the alkaline diffusion layer around the composition in the gastrointestinal

tract. An example complex is diclofenac sodium with  $\beta$ -cyclodextrin.

L18 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

1996:110433 CAPLUS

DOCUMENT NUMBER:

124:156031

TITLE:

Pharmaceutical compositions containing  $\beta$ cyclodextrin inclusion complexes with nonsteroidal antiinflammatory agents

INVENTOR(S):

Penkler, Lawrence John; Glintenkamp, Lueta Ann; Bodley, Mark David; Van Oudtshoorn, Michiel Coenraa;

Stubbs, Christopher

PATENT ASSIGNEE(S):

South African Druggists Ltd., S. Afr.

SOURCE:

PCT Int. Appl., 44 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PA'	PATENT NO.					KIND DATE			PLICAT		DATE				
													•		
WO	WO 9532737				A1	1995	1207	WO	1995-	GB11	52		1	9950	522
	W:	ΑT,	AU,	CA,	CH,	CN, CZ,	DE,	DK, ES	5, FI,	GB,	HU,	IS,	JP,	KR,	LU,
		NO,	NZ,	PT,	RO,	RU, SE,	US								
	RW:	ΑT,	BE,	CH,	DE,	DK, ES,	FR,	GB, I	r, Lu,	SE					
ZA	9503	965			Α	1996	1118	$z_{\mathbf{A}}$	1995-	3965			1	9950	516
CA	2190	598			A1	1995	1207	CA	1995-	2190	598		1	9950	522
AU	9525	312			Α	1995	1221	AU	1995-	25312	2		1	.9950	522
EP	7606	80			A1	1997	0312	EP	1995-	91952	24		1	9950	522
	R:	ΑT,	BE,	DE,	ES,	FR, GB,	${\tt IT}$								
CN	1154	070			Α	1997	0709	CN	1995-	1943	39		1	.9950	522
BR	9507	768			A	1997	0902	BR	1995-	7768			1	.9950	522
JP	1050	0982			${f T}$	1998	0127	JP	1995-	5004	78		1	9950	522
PRIORIT	Y APP	LN.	INFO	. :				ZA	1994-	3740		1	A 1	9940	527
								WO	1995-	GB119	52	Ţ	<b>v</b> 1	.9950	522

A pharmaceutical composition comprising an inclusion complex of a βcyclodextrin (I) or a derivative thereof and a sparingly water-soluble non-steroidal anti-inflammatory drug such as diclofenac sodium (II) is disclosed. The composition in solid form which is adapted to be dissolved in water to provide a clear or slightly opaque solution for oral administration, includes the steps of forming a paste from the  $\beta$ - cyclodextrin or the derivative thereof and the NSAID with a wetting solution, mixing the paste

with addition of further wetting solution if necessary, and drying the product to produce the inclusion complex which dissolves in water to provide a clear or slightly opaque solution Kneaded I-II complex with water solubility

3864 mg/100mL was prepared according to above procedure and incorporated in a tablet (120mg/tablet). The tablets had hardness of 30 N and dissolved with swirling in 3 min.

L18 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

1995:998163 CAPLUS

DOCUMENT NUMBER:

124:66598

TITLE:

of

High solubility multicomponent inclusion complexes

consisting of an acidic drug, a cyclodextrin

and a base

INVENTOR(S):

Chiesi, Paolo; Ventura, Paolo; Del Canale, Marizio; Redenti, Maurizio; Acerbi, Daniela; Pasini, Massimo;

Szejtli, Joesef; Vikmon, Maria; Fenyvesi, Eva

PATENT ASSIGNEE(S):

Chiesi Farmaceutici S.P.A., Italy

SOURCE:

PCT Int. Appl., 25 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

F	PATENT NO.					KIND DATE			APPLICATION NO.						DATE				
W.	7O	9528	965			A1	-	 1995	1102	1						1:	9950	113	
		W:										CN,							
,												LK,							
			MN,	MX,	NO,	NZ,	PL,	PT,	RO,	RU,	SE,	SG,	SI,	SK,	TJ,	TM,	TT,	UA,	
			US,																
		RW:	ΚE,	MW,	SD,	SZ,	UG,	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙE,	IT,	
			LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	ML,	MR,	ΝE,	
			SN,	TD,															
C	CA	21883	388			A1		1995	1102	(	CA 1	995-	21883	388		1	9950	413	
_		9523076					1995	1116	1	AU 1	995-	2307	6		1	9950	413		
		756493					1997	0205	EP 1995-916656						1	9950	413		
E	ΞP	7564							0719										
		R:	ΑT,					ES,	FR,	GB,	GR,	IE,	IT,	LI,	LU,	MC,	ΝL,	PT,	SE
P	Υ	1947	77			T						995-							
_		2148				Т3						995-							
_		7564				T						995-							
		95032				Α						995-							
		11345				Α			0509 <sub>.</sub>			995-							
-		5773				Α			0630			996-							
H	łΚ	1013	627			A1		2000	1124	]	HK 1	998-	1149	95					
PRIORI	ΙΤΥ	APP	LN.	INFO	.:							994-1	_			A 1:			
	•									1	WO 1	995-1	EP14	07	1	W 1:	99504	113	

AB Multicomponent inclusion complexes characterized by the presence of an acidic drug, a base and cyclodextrin which are highly soluble are disclosed. A solution containing 1.0 mM ibuprofen (I), 1.0 mM  $\beta$ -cyclodextrin and 1.0mM triethanolamine was stirred to obtain ibuprofen- $\beta$ -cyclodextrin-triethanolamine inclusion complex. The solubility of I was 9mg/mL.

L20 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:546403 CAPLUS

DOCUMENT NUMBER: 141:94315

TITLE: Stabilized solid drug dispersions in an organic

carrier

INVENTOR(S): Colombo, Italo; Gervasoni, Dario

PATENT ASSIGNEE(S): Eurand S.p.A., Italy SOURCE: PCT Int. Appl., 30 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	PATENT NO.					KIND DATE			APPLICATION NO.						DATE					
						A2 20040708 A3 20041111				WO 2	003-	EP14	740		2	0031	222			
		W:	ΑE,	AG,	AL,	AM,	ΑT,	AU,	AZ,	BA,	BB,	ВG,	BR',	BY,	ΒZ,	CA,	CH,	CN,		
			CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	GE,		
			GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KΕ,	KG,	KP,	KR,	KZ,	LC,	LK,		
			LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NI,	NO,	NZ,		
													SG,				TJ,	TM,		
			TN,	TR,	TT,	TZ,	UA,	UG,	US,	UΖ,	VC,	VN,	ΥU,	ZA,	ZM,	ZW				
		RW:	BW,	GH,	GM,	KΕ,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	ŪĠ,	ZM,	ZW,	AM,	ΑZ,		
			BY,	KG,	KZ,	MD,	RU,	ТJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,		
			ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	IT,	LU,	MC,	NL,	PT,	RO,	SE,	SI,	SK,		
			-	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG	
	CA	2529	818			A1		2004	0708	CA 2003-2529818						20031222				
		2003						2004	0714	Ž	AU 2	003-	3031	33		2	0031	222		
	ΕP	1581	189		,	A2		2005	1005	1	EP 20	003-	8135	92		20	0031	222		
		R:	AT,	ΒE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,		
			-		-	-		-					BG,	•		•				
																20031222				
						A1		2006	0309	US 2005-540139						20050621				
PRIO	RIT	( APP	LN.	INFO	.:					IT 2002-MI2748					_					
מא		_								WO 2003-EP14740						··				

AB New solid drug dispersions are described in which the drug is present in amorphous form and massively dispersed (in bulk) inside the particles of an organic carrier selected from cross-linked polymers and/or a complexing agents. These dispersions are obtainable by mixing together the drug and the carrier and applying an oscillating electromagnetic field to the mixture, to a frequency belonging to the microwave region; the microwaves are applied according to a sp. heating cycle wherein the drug-carrier mixture is heated at a temperature higher than the m.p. of the drug for at least 5 min. With respect to the known techniques, the present invention allows to increase in the amount of drug incorporated into the carrier in amorphous form, and to increase the phys. stability of the amorphous phase. This is particularly useful in the preparation of pharmaceutical compns. based on drugs which are crystalline in nature, such as are notoriously sparingly soluble in water: thanks to the increased amts. and stability of the drug in amorphous form, the resulting formulations have a more rapid and intense effect, and are endowed with greater bioavailability. Compns. containing ibuprofen, β- cyclodextrin, and Crosspovidone were prepared as well as nimesulide-Crosspovidone and nimesulide- $\beta$ - cyclodextrin composites.

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L20 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN
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ACCESSION NUMBER: 2004:406462 CAPLUS

DOCUMENT NUMBER: 140:380609

TITLE: Process for the preparation of piroxicam and  $\beta$ -

cyclodextrin complex

INVENTOR(S): Cepanec, Ivica; Litvic, Mladen; Mikuldas, Hrvoje;

Bartolincic, Anamarija; Koretic, Stefanija; Ljubic,

Goranka

Belupo - Lijekovi i Kozmetika D.O.O., Croatia PATENT ASSIGNEE(S):

SOURCE:

Croat. Pat. Appl., 4 pp.

CODEN: HRXXB9

DOCUMENT TYPE:

Patent

LANGUAGE:

Croatian

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
HR 2001000543	A1	20030430	HR 2001-543	20010719
PRIORITY APPLN. INFO.:			HR 2001-543	20010719

A piroxicam-β- cyclodextrin complex was prepared from a AB suspension of piroxicam and  $\beta$ - cyclodextrin in a mixture of water (a dissoln. medium for  $\beta$ - cyclodextrin) and lower alcs. (a dissoln. medium for pyroxycam) in various ratios, at temperature of 10° to 60°. The ratio of piroxicam/ $\beta$ cyclodextrin in the complex was between 1:1 and 10:10, depending on the ratio of the starting compds. For example, a 2:1  $\beta$ cyclodextrin-piroxicam complex (96.94 g) was obtained by adding 68 g of piroxicam to a solution containing 100 g β- cyclodextrin, 400 mL water and 400 mL 96% ethanol, heated to 60°. The mixture was cooled down to room temperature and stirred for 4 h, followed by addnl. stirring for 1 h at 5°. The precipitate was filtered, rinsed with aqueous ethanol, and dried at 100°.

L20 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

2003:913055 CAPLUS

DOCUMENT NUMBER:

139:399770

TITLE:

Medical goods comprising heparin or chitosan-based

hemocompatible coating

INVENTOR(S):

Horres, Roland; Linssen, Marita Katharina; Hoffmann,

Michael; Faust, Volker; Hoffmann, Erika; Di Biase,

Donato

PATENT ASSIGNEE(S):

SOURCE:

Hemoteg G.m.b.H., Germany

PCT Int. Appl., 93 pp.

CODEN: PIXXD2 Patent

DOCUMENT TYPE:

LANGUAGE:

German

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PA	rent :	NO.			KIND DATE				APPL	ICAT		DATE					
WO	2003	 0949:	90		A1	A1 20031120			,	WO 2	 003 <i>-</i> :	DE12	53		2	0030	415
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,
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		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	ΚP,	KR,	ΚZ,	LC,	LK,	LR,
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NI,	NO,	NZ,	OM,
		PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	TJ,	TM,	TN,	TR,	TT,
		TZ,	UA,	UG,	US,	UΖ,	VC,	VN,	YU,	ZA,	ZM,	ZW					
	RW:	GH,	GM,	KΕ,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	BY,
		KG,	KZ,	MD,	RU,	TJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,
		FI,	FR,	GB,	GR,	HU,	ΙE,	IT,	LU,	MC,	NL,	PT,	RO,	SE,	SI,	SK,	TR,
		BF,	•			•	•	GA,				•		•	•		
DE	1022	1055			A1		2003	1127	]	DE 2	002-	1022	1055		2	0020	510
DE	1026	1986			A1		2004	0318	]	DE 2	002-	1026	1986		2	0020	510
ΑU	2003	2403	91		<b>A</b> 1		2003	1111		AU 2	003-	2403	91		2	00304	415
CA	2484	269			A1		2003	1120	(	CA 2	003-	24842	269		20030415		
CN	1543	362			Α		2004	1103	(	CN 2	003-	8007	70		. 20	00304	115
ΕP	1501	565			A1		2005	0202	]	EP 2	003-	72982	29		20	00304	115
EP	1501	565			B1		2006	1102									

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R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
    BR 2003011446
                                           BR 2003-11446
                         Α
                                20050315
                                                                   20030415
                                           US 2003-513982
    US 2005176678
                         A1
                                20050811
                                                                   20030415
    CN 1665554
                         Α
                                20050907
                                            CN 2003-815926
                                                                   20030415
                         Т
     JP 2005534724
                                20051117
                                           JP 2004-503070
                                                                   20030415
    AT 344064
                         Т
                                20061115
                                           AT 2003-729829
                                                                   20030415
                                20050218
     IN 2004MN00606
                         Α
                                           IN 2004-MN606
                                                                   20041028
     ZA 2004008791
                         Α
                                20050527
                                           ZA 2004-8791
                                                                   20041028
     ZA 2004008757
                         Α
                                20050531
                                            ZA 2004-8757
                                                                   20041028
PRIORITY APPLN. INFO.:
                                            US 2002-378676P
                                                               P
                                                                  20020509
                                           DE 2002-10221055
                                                               Α
                                                                   20020510
                                                                  20030415
                                           WO 2003-DE1253
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AB The invention relates to oligo- and polysaccharides containing the sugar structural element N-acylglucosamine or N-acylgalactosamine, in addition to the use thereof for producing hemocompatible surfaces and to methods for coating surfaces in a hemocompatible manner with said oligo- and polysaccharides, which constitute the common biosynthetic precursor substances of heparin, heparan sulfates and chitosan. The invention also relates to methods for producing the oligo- and/or polysaccharides, in addition to diverse application options involving hemocompatible surfaces. The invention specifically relates to the use of the oligo- and/or polysaccharides on stents involving at least one hemocompatible coating that has been applied according to the invention and that contains an anti-proliferative, anti-inflammatory and/or athrombogenic active ingredient, to methods for producing said stents and to the use of the latter for preventing restenosis. Thus desulfated and reacetylated heparin was prepared; the Ac-heparin product was used for coating coronary metal stents. The stents were implanted in swines; after four weeks the animals were anesthetized and the artery segments removed for histomorphometric anal.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2003:22669 CAPLUS

DOCUMENT NUMBER: 138:78473

TITLE: Oral pharmaceutical compositions with improved

bioavailability

INVENTOR(S): Massironi, Maria Gabriella

PATENT ASSIGNEE(S): Farmatron Ltd., UK
SOURCE: PCT Int. Appl., 19 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.					KIN	KIND D		DATE		APPLICATION NO.						DATE			
	<b>-</b>														_				
WO	2003	0021	01		<b>A1</b>	1 20030109		1	WO 2	002-	EP67	48		20020619					
	W:	ΑE,	AG,	AL,	AM,	AT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,		
		CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,		
		GM,	HR,	HU,	ID,	IL,	IN,	ıs,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	LK,	LR,		
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	OM,	PH,		
		PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TN,	TR,	TT,	TZ,		
		UA,	UG,	US,	UΖ,	VN,	YU,	ZA,	ZM,	ZW									
	RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AT,	BE,	CH,		
		CY,	DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	TR,		
		BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	TG		
IT	2001	MI13	38		A1		2002	1227	IT 2001-MI1338						20010626				
CA	2451	377			A1		20030109 CA 2002-2451377							20020619					
ΑU	2002	3210	31		A1		2003	0303	AU 2002-321081						20020619				
ΕP	1401	405			<b>A1</b>		2004	0331	]	EP 2	002-	7547	06		20	0206	519		

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EP 1401405
                             B1 20050831
          R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
     TE, SI, LT, LV, FI, RO, MR, CI, AL, IR

JP 2004534832 T 20041118 JP 2003-508340

AT 303137 T 20050915 AT 2002-754706

PT 1401405 T 20051130 PT 2002-754706

ES 2247362 T3 20060301 ES 2002-2754706

US 2004247666 A1 20041209 US 2004-482460

TT 2001-MT1338
                                                                             20020619
                                                                            20020619
                                                                            20020619
                                                                            20020619
                                                                             20040723
                                                  IT 2001-MI1338 A 20010626 WO 2002-EP6748 W 20020619
PRIORITY APPLN. INFO.:
      The present invention relates to prompt-release oral pharmaceutical
AB
      compns. containing 1 or more drugs solubilized, suspended or embedded in a
      suitably formulated amphiphilic matrix for improving in vitro and in vivo
      bioavailability of medicaments sparingly absorbed through the oral route
      and/or with problems of high variability of absorption in the
      gastrointestinal tract. Gelucire 44/14 (500 g) is melted at
      55-65°, and the molten mass is added under stirring to 50 g
      etoposide to obtain a homogeneous solution/dispersion. The resulting mixture
      is added in succession under stirring to 5 g sodium lauryl sulfate and 45
     g \beta- cyclodextrin. The resulting mixture is stirred for at least 15 min at 55°, and then hard-gelatin capsules are filled with
      a distributing syringe, to reach a 600-mg capsule. Each capsule is then
      closed and sealed by spraying with 50% ethanol and water and subsequent
      heating under hot air to obtain the final capsule. The resulting
      capsules have in vitro release not <80% after 30 min.
REFERENCE COUNT:
                                   THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS
                             3
                                    RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
L20 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1994:253358 CAPLUS
DOCUMENT NUMBER:
                           120:253358
TITLE:
                          Cyclodextrin complexes with polymers, drugs,
                          agrochemicals and cosmetics
INVENTOR(S):
                           Loftsson, Thorsteinn
PATENT ASSIGNEE(S):
                           Iceland
SOURCE:
                            Eur. Pat. Appl., 46 pp.
                            CODEN: EPXXDW
DOCUMENT TYPE:
                            Patent
LANGUAGE:
                            English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:
                       KIND DATE APPLICATION NO.
     PATENT NO.

EP 579435 A1 19940119

B1 19990317
     PATENT NO.
                                                                          DATE
                                                 -----
                                    19940119 EP 1993-305280
                                                                            19930706
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE
     US 5324718 A 19940628 US 1992-912853 19920714
AT 177647 T 19990415 AT 1993-305280 19930706
                                                 ES 1993-305280 19930706

US 1994-240510 19940511

US 1992-912853 A 19920714

EP 1993-305280 A 19930706
                            T3 19990816 ES 1993-305280
A 19951205 US 1994-240510
     ES 2132190
     US 5472954
PRIORITY APPLN. INFO.:
     A method for enhancing the complexation of a cyclodextrin (I)
     with a lipophilic and/or water-labile drug, comprising combining
     .apprx.0.1-70% (weight/volume) of I and .apprx.0.001-5% (weight/volume) of a
     water-soluble polymer in an aqueous medium. The polymer and I are dissolved in the aqueous medium before the drug is added. To a solution containing Na
     CM-cellulose 0.25 and 2-hydroxypropyl-β- cyclodextrin 10%
     was added acetazolamide (II) and the solution was heated at
     120° for 20 min and allowed to equilibrate at room temperature for 3 days
     and amount of II was determined The solubility of II was 3.11mg/mL as
compared to 0.7
```

for control containing only II. Different formulations containing

cyclodextrin complexes with polymers and drugs are disclosed.

L20 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

1988:556331 CAPLUS

DOCUMENT NUMBER:

109:156331

TITLE:

Microcalorimetric and chromatographic investigations

of the binding of some pyridine derivatives to

cyclodextrins

AUTHOR (S):

El Gezawi, S.; Omar, N.; El Rabbat, N.; Ueda, H.;

Perrin, J. H.

CORPORATE SOURCE:

Dep. Pharm., Univ. Assiut, Assiut, Egypt

SOURCE:

Journal of Pharmaceutical and Biomedical Analysis

(1988), 6(4), 399-406 CODEN: JPBADA; ISSN: 0731-7085

DOCUMENT TYPE:

Journal English

LANGUAGE:

The binding of some pyridine derivs. to  $\alpha$ -,  $\beta$ - and  $\gamma$ cyclodextrins was investigated by microcalorimetry. The strongest

binding is to  $\beta$ - cyclodextrin, but the binding consts. are of the order 102 M-1. The binding to  $\beta$ - cyclodextrin was

also investigated by HPLC. The addition of  $\beta$ - cyclodextrin to

the mobile phase allowed separation of mols. with similar binding consts. and of racemates in the case of tropicamide.

## (FILE 'HOME' ENTERED AT 18:27:31 ON 27 APR 2007)

FILE 'REGISTRY' ENTERED AT 18:27:48 ON 27 APR 2007 L1 1 S 36322-90-4

	FILE 'CA	APLUS	, MEDLINE' ENTERED AT 18:28:43 ON 27 APR 2007
L2	52	260 S	L1
L3	2	243 S	L2 AND ?CYCLODEXTRIN?
L4		.3 S	L3 AND ?LYOPHIL?
L5		10 S	L3 AND ?FREEZE-DRIED?
L6	2	240 S	L3 NOT L4
L7	2	232 S	L6 NOT L5
L8		2 S	L7 AND AMMONIUM HYDROXIDE
L9	2	230 S	L7 NOT L8
L10		0 S	L9 AND FREEZ? DRIED?
L11		0 S	L9 AND FREEZ? DRY
L12		4 S	L9 AND FREEZ?
L13	2	226 S	L9 NOT L12
L14		3 S	L13 AND VACUUM
L15	2	23 S	L13 NOT L14
L16		13 S	L15 AND AMMONI?
L17	2	210 S	L15 NOT L16
L18		7 S	L17 AND HYDROXIDE?
L19	2	03 S	L17 NOT L18
L20		6 S	L19 AND HEAT?
L21	1	.97 S	L19 NOT L20
L22		39 S	L21 AND WATER?
L23		0 S	L22 AND FROZ?

## => d his

L23

(FILE 'HOME' ENTERED AT 18:27:31 ON 27 APR 2007)

FILE 'REGISTRY' ENTERED AT 18:27:48 ON 27 APR 2007 L1 1 S 36322-90-4

	FILE	'CAPL	JS	, ME	LINE' ENT	TERED	ΑT	18:2	28:43	ON	27	APR	2007	
L2		5260	s	L1										
L3		243	S	L2	ND SCACFO	DEXT	RIN	?						
L4		3	S	L3	ND ?LYOPI	HIL?								
L5		10	S	L3	ND ?FREE	ZE-DRI	ED?	?						
L6		240	S	L3	OT L4									
L7		232	S	L6	OT L5									
L8		2	S	L7	ND AMMON	CH MU	ZDRO	OXID	Ξ					
L9		230	S	L7	OT L8									
L10		0	S	Ь9	ND FREEZ	P DRIE	ED?							
L11		0	S	L9	ND FREEZ	PDRY		•				;		
L12		4	S	L9	ND FREEZ	?						•		
L13		226	S	L9	OT L12									
L14		3	S	L13	AND VACUU	JM								
L15		223	S	L13	NOT L14									
L16		13	S	L15	AND AMMON	113								
L17		210	S	L15	NOT L16									
L18		7	S	L17	AND HYDRO	XIDE?	?							
L19		203	S	L17	NOT L18									
L20		6	S	L19	AND HEAT?	?								
L21		197	S	L19	NOT L20									
L22		39	S	L21	AND WATER	??								

0 S L22 AND FROZ?

L24 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:1199903 CAPLUS

DOCUMENT NUMBER: 144:299017

TITLE: Thermodynamic investigations on the inclusion

complexation of piroxicam with cyclodextrin

derivatives

AUTHOR(S): Charumanee, S.; Weiss-Greiler, P.; Wolschann, P.;

Viernstein, H.; Titwan, A.; Sirithunyalug, J.;

Okonogi, S.

CORPORATE SOURCE: Department of Pharmaceutical Technology, Fac. of

Pharmacy, Chiang Mai University, Chiang Mai, Thailand

THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS

SOURCE: Scientia Pharmaceutica (2005), 73(3), 147-161

CODEN: SCPHA4; ISSN: 0036-8709

PUBLISHER: Oesterreichische Apotheker-Verlagsgesellschaft

DOCUMENT TYPE: Journal LANGUAGE: English

AB Thermodn. studies of piroxicam in aqueous solution complexed with  $\beta$ -

cyclodextrin ( $\beta$ -CD),  $\gamma$ - cyclodextrin ( $\gamma$ -CD) and two  $\beta$ - cyclodextrin derivs., hydroxypropyl- $\beta$ - cyclodextrin (HP- $\beta$ -CD) and

methyl-β- cyclodextrin (Me-β-CD) were performed at

different temps. and pH values using the phase solubility method.

The phase solubility diagrams of  $\beta$ -CD,  $\gamma$ -CD and HP- $\beta$ -CD is of

AL-type behavior, indicating the formation of 1:1 complexes. The related

stability consts. range from  $\beta\text{-CD}$  >  $\gamma\text{-CD}$  > Me- $\beta\text{-CD}$  >

 ${\rm HP}$ -β-CD, resp. An AP-type solubility diagram is observed for Me-β-CD, indicating the formation of 1:2 complexes at higher CD concns. From the temp, dependence of the equilibrium consts, the reaction enthalpies and entropies have been determined. The contributions of the reaction entropies are

small and no enthalpy-entropy-compensation is observed, except for  $\gamma$ -CD, where a very small neg. reaction entropy could be estimated: Moreover, the influence of the pH value is rather high, because the

differently charged forms of piroxicam show different solubility behavior in water.

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

32

L24 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 1994:417910 CAPLUS

DOCUMENT NUMBER: 121:17910

REFERENCE COUNT:

TITLE: Some pharmaceutical properties of 2,3,6-partially

methylated-β- cyclodextrin and its solubilizing and stabilizing abilities

AUTHOR(S): Ou, Dawen; Ueda, Harushia; Nagase, Hiromasa; Endo,

Tomohiro; Nagai, Tsuneji

CORPORATE SOURCE: Dep. Phys. Chem., Hoshi Univ., Tokyo, 142, Japan

SOURCE: Drug Development and Industrial Pharmacy (1994),

20(12), 2005-16

CODEN: DDIPD8; ISSN: 0363-9045

DOCUMENT TYPE: Journal LANGUAGE: English

AB The pharmaceutical properties of 2,3,6-partially methylated-β-

cyclodextrin (PMCD) were investigated. The aqueous solubility of PMCD was much higher than that of the parent  $\beta$ -CyD, and it exhibited endothermic dissoln. in contrast to that of the conventional

heptakis  $(2,6-di-0-methyl)-\beta$ - cyclodextrin (DMCD). The

acid-catalyzed hydrolysis rate of PMCD was faster than those of the parent  $\beta\text{-CyD}$  and DMCD. The hemolytic activity (human erythrocytes) of PMCD was similar to that of DMCD, PMCD was a more effective solubilizer for

poorly water-soluble drugs than the parent  $\beta$ -CyD; however PMCD

is not as effective as DMCD. The stabilizing effect of PMCD on chemical unstable drugs was higher than that of the parent  $\beta$ -CyD. For PMCD, in which the hydroxyl groups of cyclodextrin are substituted by

a Me group, the methylation ratios are as follows: 58.apprx.62% at the 2-position, 48.apprx.52% at the 3-position and 98-100% at the 6-position. The aqueous solubilities of conventional DMCD and heptakis(2,3,6-tri-O-methyl)- $\beta$ - cyclodextrin (TMCD) usually decreased with increasing temp.; however, PMCD exhibited endothermic dissoln. in a manner similar to that of the parent  $\beta$ - cyclodextrin ( $\beta$ -CyD). PMCD has received considerable attention in the pharmaceutical field; therefore, in this study some of the physicochem. properties of PMCD, such as surface activity, hemolytic activity and chemical stability in acid medium were investigated. In addition, the solubilizing and stabilizing abilities of PMCD for poorly water-soluble drugs were compared with those of  $\beta$ -CyD and DMCD.

L24 ANSWER 3 OF 3 MEDLINE on STN ACCESSION NUMBER: 2004056328 MEDLINE DOCUMENT NUMBER: PubMed ID: 14757497

TITLE: Influence of hydroxypropyl-beta-cyclodextrin

complexation on piroxicam release from buccoadhesive

tablets.

AUTHOR: Jug Mario; Becirevic-Lacan Mira

CORPORATE SOURCE: Department of Pharmaceutics, Faculty of Pharmacy and

Biochemistry, University of Zagreb, A. Kovacica 1, 10 000,

Zagreb, Croatia.. mira\_becirevic@Yahoo.com

SOURCE: European journal of pharmaceutical sciences : official

journal of the European Federation for Pharmaceutical Sciences, (2004 Feb) Vol. 21, No. 2-3, pp. 251-60.

Journal code: 9317982. ISSN: 0928-0987.

PUB. COUNTRY: Netherlands

DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)

LANGUAGE: English

FILE SEGMENT: Priority Journals

ENTRY MONTH: 200411

ENTRY DATE: Entered STN: 4 Feb 2004

Last Updated on STN: 19 Dec 2004 Entered Medline: 26 Nov 2004

AB Interaction of piroxicam (PX) and hydroxypropyl-beta-cyclodextrin (HPbetaCD) was investigated in solution and in the solid state. Solubility studies demonstrated the formation of the PX-HPbetaCD inclusion complex with 1:1 stoichiometry. Equimolecular PX-HPbetaCD solid systems were prepared and characterized by differential scanning calorimetry, Fourier transform infrared spectroscopy, and X-ray diffractometry. Modification of the release of a sparingly water-soluble drug, PX, from hydrophilic matrices using cyclodextrin complexation was evaluated. The buccoadhesive controlled release tablets for the delivery of PX were prepared by direct compression of hydroxypropylmethyl cellulose (HPMC) and Carbopol 940 (C940), which showed superior bioadhesion properties compared to HPMC. The tablets were evaluated for their dissolution, swelling and mucoadhesive properties. The in vitro release results demonstrated that matrix tablets containing the PX-HPbetaCD solid complex displayed faster PX release compared to those containing a physical mixture or "free" drug. Differences in release rates of PX from the tablets could be attributed to the presence of the polymers and to cyclodextrin complexation. The effect of the polymers on PX release can affect the drug solubility (complexation) and polymer water uptake (swelling). Higher polymer water uptake may result in higher drug solubility and diffusivity in a hydrated polymeric environment. Drug complexation affected also its diffusivity through the semipermeable membrane.

L25 ANSWER 32 OF 36 MEDLINE on STN ACCESSION NUMBER: 2002341869 MEDLINE DOCUMENT NUMBER: PubMed ID: 12084504

JOCUMENI NUMBER: Pubmed ID: 12064504

TITLE: Improved dissolution behaviour of steam-granulated

piroxicam.

AUTHOR: Cavallari Cristina; Albertini Beatrice; Gonzalez-Rodriguez

Marisa L; Rodriquez Lorenzo; Abertini Beatrice

CORPORATE SOURCE: Dipartimento di Scienze Farmaceutiche, Universita di

Bologna, Bologna, Italy.. cavallar@biocfarm.unibo.it
European journal of pharmaceutics and biopharmaceutics:

official journal of Arbeitsgemeinschaft für Pharmazeutische

Verfahrenstechnik e.V, (2002 Jul) Vol. 54, No. 1, pp.

65-73.

Journal code: 9109778. ISSN: 0939-6411.

PUB. COUNTRY: Netherlands

DOCUMENT TYPE: (COMPARATIVE STUDY)

Journal; Article; (JOURNAL ARTICLE)

LANGUAGE: English

SOURCE:

FILE SEGMENT: Priority Journals

ENTRY MONTH: 200212

ENTRY DATE: Entered STN: 27 Jun 2002

Last Updated on STN: 8 Jan 2003 Entered Medline: 26 Dec 2002

AB In this paper we prepared and characterized improved release granulates containing Piroxicam and beta-cyclodextrins (1:2.5 molar ratio), obtained by steam-aided granulation, using a one-step rotogranulator, Rotolab. These granulates were compared to those prepared by traditional wet granulation, to the physical mixture, and to the kneaded and dry granulates. The experimental data showed a significant reduction of the water amount required (50%) and of the working time, with respect to traditional wet granulation. The samples examined by scanning electron microscopy and fractal analysis revealed morphological differences related to the method of preparation: the steam-granulated material showed a diffuse porosity, as confirmed by the porosity test. Differential scanning calorimetry, infrared and X-ray analysis revealed the absence of polymorphs in the solid state of the drug. The results of the dissolution tests suggest that the steam-aided granulation may be considered a useful method to improve the in vitro dissolution rate of Piroxicam, enabling also a considerable reduction in the processing time.

L25 ANSWER 23 OF 36 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1994:279998 CAPLUS

DOCUMENT NUMBER: 120:279998

TITLE: Release mechanism of piroxicam from  $\beta$ -

cyclodextrin inclusion compound

Colombo, P.; Santi, P.; Provasi, D.; De Ascentiis, A.; AUTHOR (S):

Massimo, G.; Catellani, P. L.

CORPORATE SOURCE: Dip. Farm., Parma, 43100, Italy

SOURCE: Acta Technologiae et Legis Medicamenti (1991), 2(1),

37-49

CODEN: ATLMEQ; ISSN: 1121-2098

DOCUMENT TYPE:

Journal English

LANGUAGE:

The aim of this work was to study the interaction with water of the inclusion compound piroxicam/ $\beta$ - cyclodextrin, in order to clarify the piroxicam release mechanism. A study was made on particle size, phys. structure, water absorption, swelling properties and dissoln. rate of inclusion compound, as compared with the properties of piroxicam and  $\beta$ - cyclodextrin powders. The inclusion of piroxicam in  $\beta$ - cyclodextrin dramatically improves the dissoln. rate of piroxicam; the mechanism responsible for the fast release was identified in the swelling capacity exhibited by  $\beta$ cyclodextrin in the presence of water.